Library Sequence Search History


```
=> d his full

     (FILE 'HOME' ENTERED AT 15:56:24 ON 07 DEC 2005)

     FILE 'LREGISTRY' ENTERED AT 15:56:30 ON 07 DEC 2005
L1            0 SEA ABB=ON  R[RKH][RK]R[RK]R/SQSP

     FILE 'REGISTRY' ENTERED AT 15:57:01 ON 07 DEC 2005
L2        11617 SEA ABB=ON  R[RKH][RK]R[RK]R/SQSP
                SAVE TEMP L2 RUS956SEQ/A
L3           46 SEA ABB=ON  L2 AND SQL<7
L4           36 SEA ABB=ON  L3 NOT SQL<6
L5              ANALYZE L4 1- LC :       6 TERMS
                D
                SAVE TEMP L4 RUS956SEQ2/A

     FILE 'REGISTRY' ENTERED AT 15:59:00 ON 07 DEC 2005
                D QUE L4
                D RN CN KWIC NTE LC L4 1
                D RN CN KWIC NTE LC L4 2-36

     FILE 'CAPLUS, USPATFULL, TOXCENTER, PROUSDDR, CHEMCATS' ENTERED AT
     16:00:05 ON 07 DEC 2005
L6           117 SEA ABB=ON  L4
L7            85 DUP REM L6 (32 DUPLICATES REMOVED)
                       ANSWERS '1-65' FROM FILE CAPLUS
                       ANSWERS '66-81' FROM FILE USPATFULL
                       ANSWERS '82-83' FROM FILE PROUSDDR
                       ANSWERS '84-85' FROM FILE CHEMCATS

     FILE 'STNGUIDE' ENTERED AT 16:00:27 ON 07 DEC 2005

     FILE 'CAPLUS' ENTERED AT 16:00:54 ON 07 DEC 2005
L8            65 SEA ABB=ON  L4
                D IBIB ED ABS HITRN 1-65

     FILE 'HOME' ENTERED AT 16:01:14 ON 07 DEC 2005


     FILE HOME

     FILE LREGISTRY
     LREGISTRY IS A STATIC LEARNING FILE

     NEW CAS INFORMATION USE POLICIES, ENTER HELP USAGETERMS FOR DETAILS.

     FILE REGISTRY
     Property values tagged with IC are from the ZIC/VINITI data file
     provided by InfoChem.

     STRUCTURE FILE UPDATES:    6 DEC 2005  HIGHEST RN 869462-96-4
     DICTIONARY FILE UPDATES:   6 DEC 2005  HIGHEST RN 869462-96-4

     New CAS Information Use Policies, enter HELP USAGETERMS for details.

     TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

       Please note that search-term pricing does apply when
       conducting SmartSELECT searches.
```

Checked JSR 9-27-2006

Searched by Barb O'Bryen, STIC 2-2518

```
**************************************************************
*                                                            *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added,   *
* effective March 20, 2005.  A new display format, IDERL, is now    *
* available and contains the CA role and document type information. *
*                                                            *
**************************************************************
```

Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

FILE CAPLUS

FILE COVERS 1907 - 7 Dec 2005 VOL 143 ISS 24
FILE LAST UPDATED: 6 Dec 2005 (20051206/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/infopolicy.html

FILE USPATFULL
FILE COVERS 1971 TO PATENT PUBLICATION DATE: 6 Dec 2005 (20051206/PD)
FILE LAST UPDATED: 6 Dec 2005 (20051206/ED)
HIGHEST GRANTED PATENT NUMBER: US6973671
HIGHEST APPLICATION PUBLICATION NUMBER: US2005268363
CA INDEXING IS CURRENT THROUGH 6 Dec 2005 (20051206/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 6 Dec 2005 (20051206/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Oct 2005
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Oct 2005

>>> USPAT2 is now available. USPATFULL contains full text of the <<<
>>> original, i.e., the earliest published granted patents or <<<
>>> applications. USPAT2 contains full text of the latest US <<<
>>> publications, starting in 2001, for the inventions covered in <<<
>>> USPATFULL. A USPATFULL record contains not only the original <<<
>>> published document but also a list of any subsequent <<<
>>> publications. The publication number, patent kind code, and <<<
>>> publication date for all the US publications for an invention <<<
>>> are displayed in the PI (Patent Information) field of USPATFULL <<<
>>> records and may be searched in standard search fields, e.g., /PN, <<<
>>> /PK, etc. <<<

>>> USPATFULL and USPAT2 can be accessed and searched together <<<
>>> through the new cluster USPATALL. Type FILE USPATALL to <<<
>>> enter this cluster. <<<
>>> <<<
>>> Use USPATALL when searching terms such as patent assignees, <<<
>>> classifications, or claims, that may potentially change from <<<
>>> the earliest to the latest publication. <<<

This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE TOXCENTER

FILE COVERS 1907 TO 7 Dec 2005 (20051207/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TOXCENTER has been enhanced with new files segments and search fields. See HELP CONTENT for more information.

TOXCENTER thesauri in the /CN, /CT, and /MN fields incorporate the MeSH 2005 vocabulary. See http://www.nlm.nih.gov/mesh/ and http://www.nlm.nih.gov/pubs/techbull/nd04/nd04_mesh.html for a description of changes.

FILE PROUSDDR

FILE COVERS 1980 TO 1 Dec 2005 (20051201/ED)

FILE CHEMCATS

FILE LAST UPDATED 03 DECEMBER 2005 (20051203/UP)

For details on recent updates in CHEMCATS, enter NEWS FILE at an arrow prompt. For the list of suppliers currently in the file, enter HELP SPA, HELP SPBC, HELP SPDH, HELP SPIN, HELP SPOP, and HELP SPQZ. For the list of current catalogs, enter HELP CTA, HELP CTBC, HELP CTDH, HELP CTIN, HELP CTOP, and HELP CTQZ.

This database is provided on an "as is" basis. Please consult the suppliers for current information regarding pricing, regional availability, available quantities, purities, etc. THERE ARE NO WARRANTIES OF ANY KIND, EITHER EXPRESSED OR IMPLIED. ACS is not liable for any loss of profit, goodwill or any other damages arising out of the use of this database.

CHEMCATS now contains more than 8 million records. See HELP CONTENT and NEWS FILE for details.

FILE STNGUIDE
FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Dec 2, 2005 (20051202/UP).

=>

```
=> fil reg; d que l4
FILE 'REGISTRY' ENTERED AT 15:59:00 ON 07 DEC 2005
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2005 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:    6 DEC 2005  HIGHEST RN 869462-96-4
DICTIONARY FILE UPDATES:   6 DEC 2005  HIGHEST RN 869462-96-4

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

**********************************************************************
*                                                                    *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added,   *
* effective March 20, 2005.  A new display format, IDERL, is now    *
* available and contains the CA role and document type information. *
*                                                                    *
**********************************************************************

Structure search iteration limits have been increased.  See HELP SLIMITS
for details.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html


L2         11617 SEA FILE=REGISTRY ABB=ON  R[RKH][RK]R[RK]R/SQSP
L3            46 SEA FILE=REGISTRY ABB=ON  L2 AND SQL<7
L4            36 SEA FILE=REGISTRY ABB=ON  L3 NOT SQL<6


=> d rn cn kwic nte lc l4 1

L4   ANSWER 1 OF 36  REGISTRY  COPYRIGHT 2005 ACS on STN
RN   866547-58-2  REGISTRY
CN   Poly(oxy-1,2-ethanediyl), α-[2-[[3,5-bis(dodecyloxy)benzoyl]amino]et
     hyl]-ω-hydroxy-, ether with N2-[(9H-fluoren-9-ylmethoxy)carbonyl]-L-
     arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-N-(2-hydroxyethyl)-L-
     argininamide (9CI)  (CA INDEX NAME)
SQL  6

SEQ      1 RRRRRR
           ======
HITS AT:   1-6

**RELATED SEQUENCES AVAILABLE WITH SEQLINK**
NTE  modified (modifications unspecified)
```

type	location		description
modification	Arg-1	-	(9h-fluoren-9-ylmethoxy) carbonyl

LC STN Files: CA, CAPLUS

=> d rn cn kwic nte lc l4 2-36; fil caplus uspatf toxcenter prousddr chemcats; s l4

L4 ANSWER 2 OF 36 REGISTRY COPYRIGHT 2005 ACS on STN
RN 866547-57-1 REGISTRY
CN Poly(oxy-1,2-ethanediyl), α-[2-[[3,5-bis(dodecyloxy)benzoyl]amino]et hyl]-ω-hydroxy-, ether with N5-[[[(2,3-dihydro-2,2,4,6,7-pentamethyl-5-benzofuranyl)sulfonyl]amino]iminomethyl]-N2-[(9H-fluoren-9-ylmethoxy)carbonyl]-L-ornithyl-N5-[[[(2,3-dihydro-2,2,4,6,7-pentamethyl-5-benzofuranyl)sulfonyl]amino]iminomethyl]-L-ornithyl-N5-[[[(2,3-dihydro-2,2,4,6,7-pentamethyl-5-benzofuranyl)sulfonyl]amino]iminomethyl]-L-ornithyl-N5-[[[(2,3-dihydro-2,2,4,6,7-pentamethyl-5-benzofuranyl)sulfonyl]amino]iminomethyl]-L-ornithyl-N5-[[[(2,3-dihydro-2,2,4,6,7-pentamethyl-5-benzofuranyl)sulfonyl]amino]iminomethyl]-N-(2-hydroxyethyl)-L-ornithinamide (9CI) (CA INDEX NAME)
SQL **6**

SEQ 1 RRRRRR
======
HITS AT: 1-6

RELATED SEQUENCES AVAILABLE WITH SEQLINK
NTE modified (modifications unspecified)

type	location		description
modification	Arg-1	-	(9h-fluoren-9-ylmethoxy) carbonyl<2>
modification	Arg-1	-	undetermined modification
modification	Arg-2	-	undetermined modification
modification	Arg-3	-	undetermined modification
modification	Arg-4	-	undetermined modification
modification	Arg-5	-	undetermined modification
modification	Arg-6	-	undetermined modification

LC STN Files: CA, CAPLUS

L4 ANSWER 3 OF 36 REGISTRY COPYRIGHT 2005 ACS on STN
RN 866547-56-0 REGISTRY
CN INDEX NAME NOT YET ASSIGNED
SQL **6**

SEQ 1 RRRRRR
======
HITS AT: 1-6

RELATED SEQUENCES AVAILABLE WITH SEQLINK
NTE modified (modifications unspecified)

type	location		description
modification	Arg-1	-	(9h-fluoren-9-ylmethoxy) carbonyl<2>

modification	Arg-1	-	undetermined modification
modification	Arg-2	-	undetermined modification
modification	Arg-3	-	undetermined modification
modification	Arg-4	-	undetermined modification
modification	Arg-5	-	undetermined modification
modification	Arg-6	-	undetermined modification

LC STN Files: CA, CAPLUS

L4 ANSWER 4 OF 36 REGISTRY COPYRIGHT 2005 ACS on STN
RN 866547-42-4 REGISTRY
CN L-Argininamide, L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-N-[6-[[3,5-bis(dodecyloxy)benzoyl]amino]hexyl]- (9CI) (CA INDEX NAME)
SQL **6**

SEQ 1 RRRRRR
======
HITS AT: 1-6

RELATED SEQUENCES AVAILABLE WITH SEQLINK
NTE modified (modifications unspecified)
LC STN Files: CA, CAPLUS

L4 ANSWER 5 OF 36 REGISTRY COPYRIGHT 2005 ACS on STN
RN 866547-41-3 REGISTRY
CN L-Ornithinamide, N5-[[[(3,4-dihydro-2,2,5,7,8-pentamethyl-2H-1-benzopyran-6-yl)sulfonyl]amino]iminomethyl]-L-ornithyl-N5-[[[(3,4-dihydro-2,2,5,7,8-pentamethyl-2H-1-benzopyran-6-yl)sulfonyl]amino]iminomethyl]-L-ornithyl-N5-[[[(3,4-dihydro-2,2,5,7,8-pentamethyl-2H-1-benzopyran-6-yl)sulfonyl]amino]iminomethyl]-L-ornithyl-N5-[[[(3,4-dihydro-2,2,5,7,8-pentamethyl-2H-1-benzopyran-6-yl)sulfonyl]amino]iminomethyl]-L-ornithyl-N5-[[[(3,4-dihydro-2,2,5,7,8-pentamethyl-2H-1-benzopyran-6-yl)sulfonyl]amino]iminomethyl]-L-ornithyl-N-[6-[[3,5-bis(dodecyloxy)benzoyl]amino]hexyl]-N5-[[[(3,4-dihydro-2,2,5,7,8-pentamethyl-2H-1-benzopyran-6-yl)sulfonyl]amino]iminomethyl]- (9CI) (CA INDEX NAME)
SQL **6**

SEQ 1 RRRRRR
======
HITS AT: 1-6

RELATED SEQUENCES AVAILABLE WITH SEQLINK
NTE modified (modifications unspecified)

type	------ location ------		description
modification	Arg-1	-	undetermined modification
modification	Arg-2	-	undetermined modification
modification	Arg-3	-	undetermined modification
modification	Arg-4	-	undetermined modification
modification	Arg-5	-	undetermined modification
modification	Arg-6	-	undetermined modification

LC STN Files: CA, CAPLUS

L4 ANSWER 6 OF 36 REGISTRY COPYRIGHT 2005 ACS on STN
RN 866547-40-2 REGISTRY
CN L-Ornithinamide, N5-[[[(3,4-dihydro-2,2,5,7,8-pentamethyl-2H-1-benzopyran-6-yl)sulfonyl]amino]iminomethyl]-N2-[(9H-fluoren-9-ylmethoxy)carbonyl]-L-

ornithyl-N5-[[[(3,4-dihydro-2,2,5,7,8-pentamethyl-2H-1-benzopyran-6-yl)sulfonyl]amino]iminomethyl]-L-ornithyl-N5-[[[(3,4-dihydro-2,2,5,7,8-pentamethyl-2H-1-benzopyran-6-yl)sulfonyl]amino]iminomethyl]-L-ornithyl-N5-[[[(3,4-dihydro-2,2,5,7,8-pentamethyl-2H-1-benzopyran-6-yl)sulfonyl]amino]iminomethyl]-L-ornithyl-N5-[[[(3,4-dihydro-2,2,5,7,8-pentamethyl-2H-1-benzopyran-6-yl)sulfonyl]amino]iminomethyl]-L-ornithyl-N-[6-[[3,5-bis(dodecyloxy)benzoyl]amino]hexyl]-N5-[[[(3,4-dihydro-2,2,5,7,8-pentamethyl-2H-1-benzopyran-6-yl)sulfonyl]amino]iminomethyl]- (9CI) (CA INDEX NAME)

SQL **6**

```
SEQ       1 RRRRRR
            ======
HITS AT:    1-6
```

RELATED SEQUENCES AVAILABLE WITH SEQLINK

NTE modified (modifications unspecified)

type	location		description
modification	Arg-1	-	(9h-fluoren-9-ylmethoxy) carbonyl<2>
modification	Arg-1	-	undetermined modification
modification	Arg-2	-	undetermined modification
modification	Arg-3	-	undetermined modification
modification	Arg-4	-	undetermined modification
modification	Arg-5	-	undetermined modification
modification	Arg-6	-	undetermined modification

LC STN Files: CA, CAPLUS

L4 ANSWER 7 OF 36 REGISTRY COPYRIGHT 2005 ACS on STN
RN 858129-98-3 REGISTRY
CN Poly(oxy-1,2-ethanediyl), α-[2-[[3,5-bis(dodecyloxy)benzoyl]amino]ethyl]-ω-hydroxy-, ether with L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-N-(2-hydroxyethyl)-L-argininamide (9CI) (CA INDEX NAME)
SQL **6**

```
SEQ       1 RRRRRR
            ======
HITS AT:    1-6
```

RELATED SEQUENCES AVAILABLE WITH SEQLINK

NTE modified (modifications unspecified)
LC STN Files: CA, CAPLUS, TOXCENTER

L4 ANSWER 8 OF 36 REGISTRY COPYRIGHT 2005 ACS on STN
RN 786702-03-2 REGISTRY
CN L-Arginine, N2-acetyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl- (9CI) (CA INDEX NAME)
SQL **6**

```
SEQ       1 RRRRRR
            ======
HITS AT:    1-6
```

RELATED SEQUENCES AVAILABLE WITH SEQLINK

NTE modified

type	location	description

terminal mod. Arg-1 - N-acetyl

LC STN Files: CA, CAPLUS, TOXCENTER

L4 ANSWER 9 OF 36 REGISTRY COPYRIGHT 2005 ACS on STN
RN [redacted] REGISTRY
CN L-Arginine, N2-[N2-[N2-[N2-(N2-L-arginyl-L-arginyl)-L-arginyl]-L-arginyl]-L-arginyl]-, methyl ester (9CI) (CA INDEX NAME)
SQL 6

SEQ 1 RRRRRR
======
HITS AT: 1-6

RELATED SEQUENCES AVAILABLE WITH SEQLINK
NTE modified (modifications unspecified)

L4 ANSWER 10 OF 36 REGISTRY COPYRIGHT 2005 ACS on STN
RN [redacted] REGISTRY
CN L-Argininamide, N2-[4-[[4-[(2,4-dioxo-4-thiazolidinylidene)methyl]-1-naphthalenyl]oxy]-1-oxobutyl]-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl- (9CI) (CA INDEX NAME)
SQL 6

SEQ 1 RRRRRR
======
HITS AT: 1-6

RELATED SEQUENCES AVAILABLE WITH SEQLINK
NTE modified

type	------ location ------		description
terminal mod.	Arg-6	-	C-terminal amide
modification	Arg-1	-	undetermined modification

LC STN Files: CA, CAPLUS

L4 ANSWER 11 OF 36 REGISTRY COPYRIGHT 2005 ACS on STN
RN [redacted] REGISTRY
CN L-Argininamide, N2-[4-[[3-(1H-tetrazol-5-yl)-9H-carbazol-9-yl]methyl]benzoyl]-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl- (9CI) (CA INDEX NAME)
SQL 6

SEQ 1 RRRRRR
======
HITS AT: 1-6

RELATED SEQUENCES AVAILABLE WITH SEQLINK
NTE modified

type	------ location ------		description
terminal mod.	Arg-6	-	C-terminal amide
modification	Arg-1	-	undetermined modification

LC STN Files: CA, CAPLUS

L4 ANSWER 12 OF 36 REGISTRY COPYRIGHT 2005 ACS on STN

RN 707535-17-9 REGISTRY
CN L-Ornithine, N5-[imino(nitroamino)methyl]-L-ornithyl-N5-[imino(nitroamino)methyl]-L-ornithyl-N5-[imino(nitroamino)methyl]-L-ornithyl-N5-[imino(nitroamino)methyl]-L-ornithyl-N5-[imino(nitroamino)methyl]-, methyl ester (9CI) (CA INDEX NAME)
SQL **6**

SEQ 1 RRRRRR
======
HITS AT: 1-6

RELATED SEQUENCES AVAILABLE WITH SEQLINK
NTE modified (modifications unspecified)

type	location		description
modification	Arg-1	-	nitro<N>
modification	Arg-2	-	nitro<N>
modification	Arg-3	-	nitro<N>
modification	Arg-4	-	nitro<N>
modification	Arg-5	-	nitro<N>
modification	Arg-6	-	nitro<N>

L4 ANSWER 13 OF 36 REGISTRY COPYRIGHT 2005 ACS on STN
RN 673202-67-0 REGISTRY
CN D-Argininamide, D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl- (9CI) (CA INDEX NAME)
SQL **6**

SEQ 1 RRRRRR
======
HITS AT: 1-6

RELATED SEQUENCES AVAILABLE WITH SEQLINK
NTE modified

type	location		description
terminal mod.	Arg-6	-	C-terminal amide

LC STN Files: CA, CAPLUS, TOXCENTER

L4 ANSWER 14 OF 36 REGISTRY COPYRIGHT 2005 ACS on STN
RN 444901-57-9 REGISTRY
CN L-Cysteinamide, N2,N6-bis[N2,N6-bis(L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl)-L-lysyl]-L-lysylglycyl- (9CI) (CA INDEX NAME)
SQL 29,10,7,**6**,**6**

SEQ 1 RRRRRRKKGC
======
HITS AT: 1-6

SEQ 1 RRRRRRK
======
HITS AT: 1-6

SEQ 1 RRRRRR

```
           ======
HITS AT:   1-6

SEQ      1 RRRRRR
           ======
HITS AT:   1-6
NTE  multichain
     modified
-------------------------------------------------------------------------
 type            ------ location ------         description
-------------------------------------------------------------------------
terminal mod.    Cys-10          -          C-terminal amide
bridge           Lys-7       - Arg-6''      amide bridge
bridge           Lys-8       - Lys-7'       amide bridge
bridge           Lys-7'      - Arg-6'''     amide bridge
-------------------------------------------------------------------------
LC   STN Files:   CA, CAPLUS

L4   ANSWER 15 OF 36  REGISTRY  COPYRIGHT 2005 ACS on STN
RN   360764-81-4 REGISTRY
CN   L-Arginine, L-arginyl-L-lysyl-L-lysyl-L-arginyl-L-arginyl- (9CI)  (CA
     INDEX NAME)
OTHER NAMES:
CN   12: PN: WO0166127 PAGE: 40 claimed sequence
CN   13: PN: FR2858772 SEQID: 13 unclaimed sequence
CN   18: PN: WO2005018650 SEQID: 13 unclaimed sequence
SQL  6

SEQ      1 RKKRRR
           ======
HITS AT:   1-6
LC   STN Files:   CA, CAPLUS, TOXCENTER

L4   ANSWER 16 OF 36  REGISTRY  COPYRIGHT 2005 ACS on STN
RN   360764-80-3 REGISTRY
CN   L-Arginine, L-arginyl-L-histidyl-L-lysyl-L-arginyl-L-arginyl- (9CI)  (CA
     INDEX NAME)
OTHER NAMES:
CN   11: PN: WO0166127 PAGE: 40 claimed sequence
CN   44: PN: EP1475435 SEQID: 44 unclaimed sequence
SQL  6

SEQ      1 RHKRRR
           ======
HITS AT:   1-6

**RELATED SEQUENCES AVAILABLE WITH SEQLINK**
LC   STN Files:   CA, CAPLUS, TOXCENTER, USPATFULL

L4   ANSWER 17 OF 36  REGISTRY  COPYRIGHT 2005 ACS on STN
RN   360764-79-0 REGISTRY
CN   L-Arginine, L-arginyl-L-histidyl-L-lysyl-L-arginyl-L-lysyl- (9CI)  (CA
     INDEX NAME)
OTHER NAMES:
CN   10: PN: WO0166127 PAGE: 40 claimed sequence
SQL  6

SEQ      1 RHKRKR
           ======
HITS AT:   1-6
```

LC STN Files: CA, CAPLUS, TOXCENTER

L4 ANSWER 18 OF 36 REGISTRY COPYRIGHT 2005 ACS on STN
RN 360764-78-9 REGISTRY
CN L-Arginine, L-arginyl-L-arginyl-L-lysyl-L-arginyl-L-lysyl- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN 9: PN: WO0166127 PAGE: 40 claimed sequence
SQL **6**

SEQ 1 RRKRKR
======
HITS AT: 1-6
LC STN Files: CA, CAPLUS, TOXCENTER

L4 ANSWER 19 OF 36 REGISTRY COPYRIGHT 2005 ACS on STN
RN 360764-77-8 REGISTRY
CN L-Arginine, L-arginyl-L-lysyl-L-arginyl-L-arginyl-L-arginyl- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN 8: PN: WO0166127 PAGE: 40 claimed sequence
SQL **6**

SEQ 1 RKRRRR
======
HITS AT: 1-6
LC STN Files: CA, CAPLUS, TOXCENTER

L4 ANSWER 20 OF 36 REGISTRY COPYRIGHT 2005 ACS on STN
RN 360764-76-7 REGISTRY
CN L-Arginine, L-arginyl-L-histidyl-L-arginyl-L-arginyl-L-arginyl- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN 7: PN: WO0166127 PAGE: 40 claimed sequence
SQL **6**

SEQ 1 RHRRRR
======
HITS AT: 1-6
LC STN Files: CA, CAPLUS, TOXCENTER

L4 ANSWER 21 OF 36 REGISTRY COPYRIGHT 2005 ACS on STN
RN 360764-75-6 REGISTRY
CN L-Arginine, L-arginyl-L-histidyl-L-arginyl-L-arginyl-L-lysyl- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN 6: PN: WO0166127 PAGE: 40 claimed sequence
SQL **6**

SEQ 1 RHRRKR
======
HITS AT: 1-6
LC STN Files: CA, CAPLUS, TOXCENTER

L4 ANSWER 22 OF 36 REGISTRY COPYRIGHT 2005 ACS on STN
RN 360764-74-5 REGISTRY
CN L-Arginine, L-arginyl-L-lysyl-L-arginyl-L-arginyl-L-lysyl- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN 5: PN: WO0166127 PAGE: 40 claimed sequence

CN 9: PN: WO03100053 SEQID: 5 claimed sequence
SQL 6

SEQ 1 RKRRKR
======
HITS AT: 1-6
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

L4 ANSWER 23 OF 36 REGISTRY COPYRIGHT 2005 ACS on STN
RN 360764-73-4 REGISTRY
CN L-Arginine, L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-lysyl- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN 4: PN: WO0166127 PAGE: 40 claimed sequence
SQL 6

SEQ 1 RRRRKR
======
HITS AT: 1-6
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

L4 ANSWER 24 OF 36 REGISTRY COPYRIGHT 2005 ACS on STN
RN 326491-51-4 REGISTRY
CN L-Argininamide, N2-acetyl-L-arginyl-L-arginyl-L-lysyl-L-arginyl-L-arginyl- (9CI) (CA INDEX NAME)
SQL 6

SEQ 1 RRKRRR
======
HITS AT: 1-6

RELATED SEQUENCES AVAILABLE WITH SEQLINK
NTE modified

type	------ location ------		description
terminal mod.	Arg-1	-	N-acetyl
terminal mod.	Arg-6	-	C-terminal amide

LC STN Files: CA, CAPLUS, TOXCENTER

L4 ANSWER 25 OF 36 REGISTRY COPYRIGHT 2005 ACS on STN
RN 326491-47-8 REGISTRY
CN L-Argininamide, N2-acetyl-L-arginyl-L-histidyl-L-lysyl-L-arginyl-L-arginyl- (9CI) (CA INDEX NAME)
SQL 6

SEQ 1 RHKRRR
======
HITS AT: 1-6

RELATED SEQUENCES AVAILABLE WITH SEQLINK
NTE modified

type	------ location ------		description
terminal mod.	Arg-1	-	N-acetyl
terminal mod.	Arg-6	-	C-terminal amide

LC STN Files: CA, CAPLUS, TOXCENTER

L4 ANSWER 26 OF 36 REGISTRY COPYRIGHT 2005 ACS on STN
RN 281194-45-4 REGISTRY
CN L-Arginine, L-arginyl-L-lysyl-L-lysyl-L-arginyl-L-lysyl- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN 2: PN: WO0166127 SEQID: 2 claimed sequence
SQL 6

SEQ 1 RKKRKR
======
HITS AT: 1-6
LC STN Files: CA, CAPLUS, TOXCENTER

L4 ANSWER 27 OF 36 REGISTRY COPYRIGHT 2005 ACS on STN
RN 281194-44-3 REGISTRY
CN L-Arginine, L-arginyl-L-arginyl-L-lysyl-L-arginyl-L-arginyl- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN 1: PN: WO0166127 SEQID: 1 claimed protein
CN 48: PN: EP1475435 SEQID: 48 unclaimed protein
CN 66: PN: WO2005014823 SEQID: 51 unclaimed protein
CN RRKRRR
SQL 6

SEQ 1 RRKRRR
======
HITS AT: 1-6

RELATED SEQUENCES AVAILABLE WITH SEQLINK
LC STN Files: CA, CAPLUS, PROUSDDR, TOXCENTER, USPATFULL

L4 ANSWER 28 OF 36 REGISTRY COPYRIGHT 2005 ACS on STN
RN 234780-10-0 REGISTRY
CN L-Arginine, N2-[1-(6-amino-9H-purin-9-yl)-1-deoxy-β-D-ribofuranuronoyl]-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl- (9CI) (CA INDEX NAME)
SQL 6

SEQ 1 RRRRRR
======
HITS AT: 1-6

RELATED SEQUENCES AVAILABLE WITH SEQLINK
NTE modified (modifications unspecified)

type	location		description
modification	Arg-1	-	undetermined modification

LC STN Files: CA, CAPLUS

L4 ANSWER 29 OF 36 REGISTRY COPYRIGHT 2005 ACS on STN
RN 216584-12-2 REGISTRY
CN D-Arginine, D-arginyl-D-arginyl-D-arginyl-D-arginyl-D-arginyl- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN 87: PN: WO0183554 SEQID: 138 claimed protein
SQL 6

```
SEQ      1 RRRRRR
           ======
HITS AT:   1-6

**RELATED SEQUENCES AVAILABLE WITH SEQLINK**
LC   STN Files:   CA, CAPLUS, TOXCENTER, USPATFULL

L4   ANSWER 30 OF 36  REGISTRY  COPYRIGHT 2005 ACS on STN
RN   208645-99-2 REGISTRY
CN   L-Argininamide, N2-acetyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-
     arginyl- (9CI)  (CA INDEX NAME)
SQL  6

SEQ      1 RRRRRR
           ======
HITS AT:   1-6

**RELATED SEQUENCES AVAILABLE WITH SEQLINK**
NTE  modified
-----------------------------------------------------------------------
 type            ------ location ------         description
-----------------------------------------------------------------------
terminal mod.    Arg-1           -         N-acetyl
terminal mod.    Arg-6           -         C-terminal amide
-----------------------------------------------------------------------
LC   STN Files:   CA, CAPLUS, TOXCENTER

L4   ANSWER 31 OF 36  REGISTRY  COPYRIGHT 2005 ACS on STN
RN   206350-77-8 REGISTRY
CN   L-Argininamide, L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl- (9CI)
     (CA INDEX NAME)
SQL  6

SEQ      1 RRRRRR
           ======
HITS AT:   1-6

**RELATED SEQUENCES AVAILABLE WITH SEQLINK**
NTE  modified
-----------------------------------------------------------------------
 type            ------ location ------         description
-----------------------------------------------------------------------
terminal mod.    Arg-6           -         C-terminal amide
-----------------------------------------------------------------------
LC   STN Files:   CA, CAPLUS, PROUSDDR, TOXCENTER

L4   ANSWER 32 OF 36  REGISTRY  COPYRIGHT 2005 ACS on STN
RN   96337-25-6 REGISTRY
CN   L-Arginine, L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl- (9CI)  (CA
     INDEX NAME)
OTHER CA INDEX NAMES:
CN   L-Arginine, N2-[N2-[N2-[N2-(N2-L-arginyl-L-arginyl)-L-arginyl]-L-arginyl]-
     L-arginyl]-
OTHER NAMES:
CN   11: PN: WO2004042059 SEQID: 12 unclaimed sequence
CN   18: PN: WO02098365 PAGE: 17 claimed protein
CN   25: PN: WO03097857 PAGE: 36 claimed protein
CN   2: PN: JP2005278630 SEQID: 2 unclaimed protein
CN   3: PN: WO0166127 SEQID: 3 claimed sequence
CN   47: PN: EP1342781 SEQID: 51 unclaimed protein
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CN 6: PN: WO2004020596 SEQID: 6 claimed sequence
CN 81: PN: WO0183554 SEQID: 132 claimed protein
CN 81: PN: WO2004092339 SEQID: 109 claimed sequence
CN 88: PN: US20030219826 SEQID: 88 claimed protein
CN H-Arg-Arg-Arg-Arg-Arg-Arg-OH
CN Hexaarginine
SQL **6**

SEQ 1 RRRRRR
======
HITS AT: 1-6

RELATED SEQUENCES AVAILABLE WITH SEQLINK
LC STN Files: CA, CAPLUS, CHEMCATS, TOXCENTER, USPATFULL

L4 ANSWER 33 OF 36 REGISTRY COPYRIGHT 2005 ACS on STN
RN 66344-94-3 REGISTRY
CN L-Ornithine, N5-[imino(nitroamino)methyl]-N2-[N5-[imino(nitroamino)methyl]-N2-[N5-[imino(nitroamino)methyl]-N2-[N5-[imino(nitroamino)methyl]-N2-[N5-[imino(nitroamino)methyl]-L-ornithyl]-L-ornithyl]-L-ornithyl]-L-ornithyl]-L-ornithyl]-, methyl ester, nonahydrobromide (9CI) (CA INDEX NAME)
SQL **6**

SEQ 1 RRRRRR
======
HITS AT: 1-6

RELATED SEQUENCES AVAILABLE WITH SEQLINK
NTE modified (modifications unspecified)

type	------ location ------		description
modification	-	-	undetermined modification
modification	Arg-1	-	nitro<N>
modification	Arg-2	-	nitro<N>
modification	Arg-3	-	nitro<N>
modification	Arg-4	-	nitro<N>
modification	Arg-5	-	nitro<N>
modification	Arg-6	-	nitro<N>

LC STN Files: CA, CAPLUS

L4 ANSWER 34 OF 36 REGISTRY COPYRIGHT 2005 ACS on STN
RN 66344-93-2 REGISTRY
CN L-Arginine, N2-[N2-[N2-[N2-(N2-L-arginyl-L-arginyl)-L-arginyl]-L-arginyl]-L-arginyl]-, methyl ester, nonahydrobromide (9CI) (CA INDEX NAME)
SQL **6**

SEQ 1 RRRRRR
======
HITS AT: 1-6

RELATED SEQUENCES AVAILABLE WITH SEQLINK
NTE modified (modifications unspecified)

type	------ location ------		description
modification	-	-	undetermined modification

LC STN Files: CA, CAPLUS

L4 ANSWER 35 OF 36 REGISTRY COPYRIGHT 2005 ACS on STN
RN 64883-28-9 REGISTRY
CN L-Ornithine, N5-[imino(nitroamino)methyl]-N2-[N5-[imino(nitroamino)methyl]-N2-[N5-[imino(nitroamino)methyl]-N2-[N5-[imino(nitroamino)methyl]-N2-[N5-[imino(nitroamino)methyl]-L-ornithyl]-L-ornithyl]-L-ornithyl]-L-ornithyl]-L-ornithyl]-, methyl ester, hydrobromide (2:15) (9CI) (CA INDEX NAME)
SQL 6

SEQ 1 RRRRRR
======
HITS AT: 1-6

RELATED SEQUENCES AVAILABLE WITH SEQLINK
NTE modified (modifications unspecified)

type	location		description
modification	-	-	undetermined modification
modification	Arg-1	-	nitro<N>
modification	Arg-2	-	nitro<N>
modification	Arg-3	-	nitro<N>
modification	Arg-4	-	nitro<N>
modification	Arg-5	-	nitro<N>
modification	Arg-6	-	nitro<N>

LC STN Files: CA, CAPLUS

L4 ANSWER 36 OF 36 REGISTRY COPYRIGHT 2005 ACS on STN
RN 64836-74-4 REGISTRY
CN L-Ornithine, N5-[imino(nitroamino)methyl]-N2-[N5-[imino(nitroamino)methyl]-N2-[N5-[imino(nitroamino)methyl]-N2-[N5-[imino(nitroamino)methyl]-N2-[N5-[imino(nitroamino)methyl]-N2-[(phenylmethoxy)carbonyl]-L-ornithyl]-L-ornithyl]-L-ornithyl]-L-ornithyl]-L-ornithyl]-, methyl ester (9CI) (CA INDEX NAME)
SQL 6

SEQ 1 RRRRRR
======
HITS AT: 1-6

RELATED SEQUENCES AVAILABLE WITH SEQLINK
NTE modified (modifications unspecified)

type	location		description
modification	Arg-1	-	nitro<N>
modification	Arg-1	-	(phenylmethoxy)carbonyl<Z>
modification	Arg-2	-	nitro<N>
modification	Arg-3	-	nitro<N>
modification	Arg-4	-	nitro<N>
modification	Arg-5	-	nitro<N>
modification	Arg-6	-	nitro<N>

LC STN Files: CA, CAPLUS

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L8   ANSWER 1 OF 65  CAPLUS  COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:         2005:1098764  CAPLUS
DOCUMENT NUMBER:          143:380869
TITLE:                    Development of arginine-lipid derivatives for gene
                          delivery for cell transformation
INVENTOR(S):              Kawakami, Hiroko; Toma, Kazunori; Furuhata, Masahiko;
                          Hattori, Yoshiyuki; Yonetani, Yoshie
PATENT ASSIGNEE(S):       Noguchi Research Institute, Japan
SOURCE:                   Jpn. Kokai Tokkyo Koho, 22 pp.
                          CODEN: JKXXAF
DOCUMENT TYPE:            Patent
LANGUAGE:                 Japanese
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.           KIND   DATE        APPLICATION NO.         DATE
     ---------------      ----   --------    --------------------    --------
     JP 2005278630         A2    20051013    JP 2004-237111          20040817
PRIORITY APPLN. INFO.:                       JP 2004-61060       A   20040304
ED   Entered STN:  13 Oct 2005
GI
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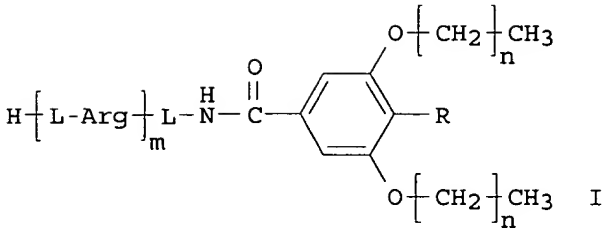


I

AB A novel genetic vector formula containing arginine-lipid derivs. I (L = X(CH2)y, XCH2(CH2OCH2)zCH2; R = H, O(CH2)nCH3; m = 4-12; n = 11-17; X = N, O, S; y = 2-6; z = 1-100) have been developed for efficient cell transformation. The arginine-lipid derivs. work as effective components in the nanoparticles, liposome or micelle vectors. The cell transformation method using the claimed compds. and the prepared transformant cells have been also claimed. The arginine polypeptide derivs. of 3,5-bis(dodecyloxy)benzamide and the arginine polypeptide derivs. of amino PEG 2000-3,5-bis(dodecyloxy)benzamide were synthesized and the properties (phys. properties and cell membrane permeabilities) of the liposome, nanoparticles and micelles that had been prepared by using them were examined Introduction of GFP transgene into HeLa cells by using the claimed vector substances was demonstrated.

IT **858129-98-3P 866547-42-4P**
RL: BUU (Biological use, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(development of arginine-lipid derivs. for gene delivery for cell transformation)

IT **866547-56-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(development of arginine-lipid derivs. for gene delivery for cell transformation)

IT **866547-40-2P 866547-41-3P 866547-57-1P 866547-58-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(development of arginine-lipid derivs. for gene delivery for cell transformation)

IT **96337-25-6**
RL: PRP (Properties)
(unclaimed protein sequence; development of arginine-lipid derivs. for gene delivery for cell transformation)

L8 ANSWER 2 OF 65 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:732973 CAPLUS
DOCUMENT NUMBER: 143:341727
TITLE: Immobilization of hexa-arginine tagged esterase onto carboxylated gold nanoparticles
AUTHOR(S): Ha, Tai Hwan; Jeong, Jin Young; Chung, Bong Hyun
CORPORATE SOURCE: BioNanotechnology Research Center, Korea Research Institute of Bioscience and Biotechnology, Yuseong, 305-600, S. Korea
SOURCE: Chemical Communications (Cambridge, United Kingdom) (2005), (31), 3959-3961
CODEN: CHCOFS; ISSN: 1359-7345
PUBLISHER: Royal Society of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English

ED Entered STN: 12 Aug 2005
AB Hexa-arginine tagged esterase was efficiently immobilized onto carboxylated gold nanoparticles (AuNP-COOH) and its enzyme activity was investigated by monitoring the absorption spectrum of an enzyme substrate, p-nitrophenol butyrate.
IT **96337-25-6**, Hexa-arginine
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(immobilization of hexa-arginine tagged esterase onto carboxylated gold nanoparticles)
REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 3 OF 65 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:684927 CAPLUS
DOCUMENT NUMBER: 143:385196
TITLE: Genetically engineered horseradish peroxidase for facilitated purification from baculovirus cultures by cation-exchange chromatography
AUTHOR(S): Levin, Gustavo; Mendive, Fernando; Targovnik, Hector M.; Cascone, Osvaldo; Miranda, Maria V.
CORPORATE SOURCE: Facultad de Farmacia y Bioquimica (UBA), Catedra de Microbiologia Industrial y Biotecnologia, Buenos Aires, 1113, Argent.
SOURCE: Journal of Biotechnology (2005), 118(4), 363-369
CODEN: JBITD4; ISSN: 0168-1656
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 02 Aug 2005
AB An engineered horseradish peroxidase isoenzyme C (HRP C) gene was constructed by the addition of a 6xArg fusion tail to 6xHis-HRP C by the PCR strategy. The 6xHis-6xArg-HRP C cDNA was expressed in the Sf9 insect cell line from Spodoptera frugiperda infected with Autographa californica nuclear polyhedrosis virus. The recombinant peroxidase isoelec. point was 9.5 as judged by isoelec. focusing and was purified directly from the culture medium at day-6 post-infection by cation-exchange chromatog. or immobilized metal ion-affinity chromatog. While the former technique gave a yield of 98.5% with a purification factor of 130, the latter gave only a 68% yield with a purification factor of 140. Results obtained provide evidence that the poly-Arg tag is more effective than the poly-His tag for peroxidase purification from a baculovirus expression system.
IT **96337-25-6**, Hexaarginine
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(genetically engineered horseradish peroxidase for facilitated purification from baculovirus cultures by cation-exchange chromatog.)
REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 4 OF 65 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:575955 CAPLUS
DOCUMENT NUMBER: 143:126720
TITLE: Design, synthesis and gene delivery efficiencies of novel oligo-arginine linked PEG-lipid: effect of oligo-arginine length
AUTHOR(S): Furuhata, Masahiko; Kawakami, Hiroko; Toma, Kazunori; Hattori, Yoshiyuki; Maitani, Yoshie
CORPORATE SOURCE: Institute of Medicinal Chemistry, Hoshi University, Tokyo, 142-8501, Japan
SOURCE: Peptide Science (2005), Volume Date 2004, 41st, 241-242

CODEN: PSCIFQ; ISSN: 1344-7661
PUBLISHER: Japanese Peptide Society
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 04 Jul 2005
AB Cell penetrating peptides (CPPs) have the capability of crossing a plasma membrane. They can deliver associated mols. into cells. Oligo-Arg conjugates were demonstrated to have characteristics similar to CPPs in the cell translocation. Four derivs. with various oligo-Arg length (Argn-PEG-BDB; n=4, 6, 8, 10) were prepared, and the effect of oligo-Arg length on the gene delivery efficacy was investigated. Arg10-PEG-BDB showed the performance was comparable to Lipofectamine 2000.
IT **858129-98-3**
RL: PAC (Pharmacological activity); BIOL (Biological study)
(oligo-Arg-PEG-BDB derivs. were developed where Arg10-PEG-BDB showed highest gene transfection efficiency than Arg6-PEG-BDB derivative indicating effect of oligo-arginine residue length in gene delivery in HeLa cell)
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 5 OF 65 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:447935 CAPLUS
DOCUMENT NUMBER: 143:126550
TITLE: Design of Peptidyl Compounds That Affect β-Amyloid Aggregation: Importance of Surface Tension and Context
AUTHOR(S): Gibson, Todd J.; Murphy, Regina M.
CORPORATE SOURCE: Department of Chemical and Biological Engineering, University of Wisconsin, Madison, WI, 53706, USA
SOURCE: Biochemistry (2005), 44(24), 8898-8907
CODEN: BICHAW; ISSN: 0006-2960
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 27 May 2005
AB Self-association of β-amyloid (Aβ) peptide into cross-β-sheet fibrils induces cellular toxicity in vitro and is linked with progression of Alzheimer's disease. Previously, we demonstrated that hybrid peptides, containing a recognition domain that binds to Aβ and a disrupting domain consisting of a chain of charged amino acids, inhibited Aβ-associated toxicity in vitro and increased the rate of Aβ aggregation. In this work we examine the design parameter space of the disrupting domain. Using KLVFFKKKKKK as a base case, we tested hybrid compds. with a branched rather than linear lysine oligomer, with L-lysine replaced by D-lysine, and with lysine replaced by diaminopropionic acid. We synthesized a compound with a novel anionic disrupting domain that contained cysteine thiols oxidized to sulfates, as well as other compds. in which alkyl or ether chains were appended to KLVFF. In all cases, the hybrid compound's ability to increase solvent surface tension was the strongest predictor of its effect on Aβ aggregation kinetics. Finally, we investigated the effects of arginine on Aβ aggregation. Arginine is a well-known chaotrope but increases surface tension of water. Arginine modestly decreased Aβ aggregation. In contrast, RRRRRR slightly, and KLVFFRRRRRR greatly, increased Aβ aggregation. Thus, the influence of arginine on Aβ aggregation depends strongly on the context in which it is presented. The effect of arginine, RRRRRR, and KLVFFRRRRRR on Aβ aggregation was examined in detail using laser light scattering, CD spectroscopy, Fourier transform IR spectroscopy, thioflavin T fluorescence, and TEM.

IT **96337-25-6**
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); PRP (Properties); BIOL (Biological study)
(design of peptidyl compds. that affect β-amyloid aggregation and importance of surface tension and context)
REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 6 OF 65 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:343918 CAPLUS
DOCUMENT NUMBER: 142:404783
TITLE: Arginine-Rich Anti-Vascular Endothelial Growth Factor (Anti-VEGF) Hexapeptide Inhibits Collagen-Induced Arthritis and VEGF-Stimulated Productions of TNF-α and IL-6 by Human Monocytes
AUTHOR(S): Yoo, Seung-Ah; Bae, Dong-Goo; Ryoo, Jae-Woong; Kim, Hae-Rim; Park, Gyeong-Sin; Cho, Chul-Soo; Chae, Chi-Bom; Kim, Wan-Uk
CORPORATE SOURCE: Division of Rheumatology, Department of Internal Medicine, Catholic University of Korea, Seoul, S. Korea
SOURCE: Journal of Immunology (2005), 174(9), 5846-5855
CODEN: JOIMA3; ISSN: 0022-1767
PUBLISHER: American Association of Immunologists
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 21 Apr 2005
AB Vascular endothelial growth factor (VEGF) has been suggested to play a critical role in the pathogenesis of rheumatoid arthritis (RA). We previously identified a novel RRKRRR hexapeptide that blocked the interaction between VEGF and its receptor through the screening of peptide libraries. In this study, we investigated whether anti-VEGF peptide RRKRRR (dRK6) could suppress collagen-induced arthritis (CIA) and regulate the activation of mononuclear cells of RA patients. A s.c. injection of dRK6 resulted in a dose-dependent decrease in the severity and incidence of CIA and suppressed synovial infiltration of inflammatory cells in DBA/1 mice. In these mice, the T cell responses to type II collagen (CII) in lymph node cells and circulating IgG Abs to CII were also dose-dependently inhibited by the peptides. In addition, VEGF directly increased the production of TNF-α and IL-6 from human PBMC. Synovial fluid mononuclear cells of RA patients showed a greater response to VEGF stimulation than the PBMC of healthy controls. The major cell types responding to VEGF were monocytes. Moreover, anti-VEGF dRK6 inhibited the VEGF-induced production of TNF-α and IL-6 from synovial fluid mononuclear cells of RA patients and decreased serum IL-6 levels in CIA mice. In summary, we observed first that dRK6 suppressed the ongoing paw inflammation in mice and blocked the VEGF-induced production of proinflammatory cytokines. These data suggest that dRK6 may be an effective strategy in the treatment of RA, and could be applied to modulate various chronic VEGF-dependent inflammatory diseases.
IT **281194-44-3**, RRKRRR
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); BIOL (Biological study)
(arginine-rich anti-VEGF hexapeptide inhibition of collagen-induced arthritis in mice and VEGF-stimulated productions of TNF-α and interleukin-6 by human monocytes)
REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 7 OF 65 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:177899 CAPLUS

DOCUMENT NUMBER: 142:273964
TITLE: Antibacterial composition, especially for controlling Gram-negative bacteria, comprising a peptide and a hydrophobic antibacterial agent
INVENTOR(S): Arranz, Valerie
PATENT ASSIGNEE(S): Diatos, Fr.
SOURCE: PCT Int. Appl., 53 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005018650	A2	20050303	WO 2004-FR2142	20040813
WO 2005018650	A3	20050616		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
FR 2858772	A1	20050218	FR 2003-9962	20030814
EP 1512696	A1	20050309	EP 2003-292030	20030814
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				

PRIORITY APPLN. INFO.: EP 2003-292030 A 20030814
FR 2003-9962 A 20030814

ED Entered STN: 03 Mar 2005
AB The invention discloses an antibacterial composition, especially for controlling Gram-neg. bacteria, containing a combination of (a) at least 1 peptide of 10-25 amino acid residues comprising (i) 2 pos. charged domains with a neutral pH consisting of 3-9 amino acid residues, at least 2/3 thereof being cationic amino acids, (ii) a group of 2-3 noncationic amino acid residues located between the pos. charged domains, (iii) a group of 0-10, preferably 0-5, amino acid residues selected from nonhydrophobic amino acids and pos. charged amino acids, located at one of the amino- or carboxyl-terminal ends of the peptide, a pos. charged amino acid residue, however, not being directly adjacent to the pos. charged domains; and (b) at least one antibacterial compound The peptide can be in a mixture with the antibacterial compound or can be conjugated to it. Preparation of erythromycin-peptide conjugates is described.
IT **360764-81-4**
RL: PRP (Properties)
(unclaimed sequence; antibacterial composition, especially for controlling Gram-neg. bacteria, comprising a peptide and a hydrophobic antibacterial agent)

L8 ANSWER 8 OF 65 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:141241 CAPLUS
DOCUMENT NUMBER: 142:234435
TITLE: Targeted carrier fusions for delivery of chemotherapeutic agents

INVENTOR(S): Shen, Ben
PATENT ASSIGNEE(S): Wisconsin Alumni Research Foundation, USA
SOURCE: PCT Int. Appl., 109 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005014823	A2	20050217	WO 2004-US25376	20040805
WO 2005014823	A3	20050721		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2005059122	A1	20050317	US 2004-912764	20040805
PRIORITY APPLN. INFO.:			US 2003-492508P	P 20030805
			US 2003-492508P	P 20030805

ED Entered STN: 18 Feb 2005

AB The present invention provides for fusion proteins that act as targeted drug carriers. The present invention provides method of producing a fusion protein comprising a drug-binding portion of a carrier polypeptide and a cell targeting protein. The drug may be selected from the group consisting of an antibiotic, a plant alkaloid, an alkylating agent, a DNA repair inhibitor or a DNA cleaving agent. The cell targeting peptide targets a cancer cell such as pancreatic cancer cell, liver cancer cell, lymphoma cell, myeloma cell, neuroblastoma cell, breast cancer cell, prostate cancer cell, or a head & neck cancer cell. The carrier protein is an apolipoprotein, a binding protein or a natural or synthetic variant therof, such as cagA or NscA. The binding protein derived from a biosynthetic gene cluster protein, such as BlmA, PlmA, or MRD, or a pathogen drug-resistance protein. The proteins are derived from mols. that possess natural drug-binding capabilities that are further engineered to target specific cell types, and optionally to have altered/improved drug binding characteristics. These fusion proteins are useful in, for example, delivery of chemotherapeutic compds. to cancer cells.

IT **281194-44-3**
RL: PRP (Properties)
(unclaimed sequence; targeted carrier fusions for delivery of chemotherapeutic agents)

L8 ANSWER 9 OF 65 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:139996 CAPLUS
DOCUMENT NUMBER: 142:233277
TITLE: Antibacterial composition, more particularly against Gram-negative bacteria, including a peptide and a hydrophobic antibacterial agent
INVENTOR(S): Arranz, Valerie
PATENT ASSIGNEE(S): Diatos, Fr.
SOURCE: Fr. Demande, 47 pp.
CODEN: FRXXBL

DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2858772	A1	20050218	FR 2003-9962	20030814
WO 2005016960	A2	20050224	WO 2004-IB2936	20040813
WO 2005016960	A3	20050407		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

WO 2005018650	A2	20050303	WO 2004-FR2142	20040813
WO 2005018650	A3	20050616		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:	EP 2003-292030	A 20030814
	FR 2003-9962	A 20030814

ED Entered STN: 18 Feb 2005

AB The invention discloses an antibacterial composition, particularly directed against Gram-neg. bacteria, including (a) at least one peptide of 10-25 amino acid residues, including (i) two pos. charged domains with neutral pH made up of 3-9 amino acid residues of which at least two thirds are cationic amino acids, (ii) between the above pos. charged domains, a group of 2-3 three non-cationic amino acid residues, (iii) at one and/or the other of the amino- and carboxyl-termini of the peptide, a group of 0-10 and preferably 0-5 amino acid residues chosen from nonhydrophobic amino acids and pos. charged amino acids (in the case of a pos. charged amino acid residue, it is not directly adjacent with the pos. charged domains); and (b) an antibacterial compound

IT **360764-81-4**
RL: PRP (Properties)
(unclaimed sequence; antibacterial composition, more particularly against Gram-neg. bacteria, including a peptide and a hydrophobic antibacterial agent)

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 10 OF 65 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:1011172 CAPLUS
DOCUMENT NUMBER: 142:379076
TITLE: Chitosan-dextran Sulfate Nanoparticles for Delivery of

an Anti-angiogenesis Peptide
AUTHOR(S): Chen, Yan; Mohanraj, Vellore J.; Parkin, John E.
CORPORATE SOURCE: Western Australian Biomedical Research Institute, School of Pharmacy, Curtin University, Perth, 6845, Australia
SOURCE: Letters in Peptide Science (2004), Volume Date 2003, 10(5-6), 621-627
CODEN: LPSCEM; ISSN: 0929-5666
PUBLISHER: Kluwer Academic Publishers
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 24 Nov 2004
AB A novel nanoparticle delivery system has been developed by employing the oppositely charged polymers chitosan (CS) and dextran sulfate (DS), and a simple coacervation process. Under the conditions investigated, the weight ratio of the two polymers is identified as a determining factor controlling particle size, surface charge, entrapment efficiency and release characteristics of the nanoparticles produced. Particles of 223 nm mean diameter were produced under optimal conditions with a zeta potential of approx. -32.6 mV. A maximum of 75% anti-angiogenesis peptide entrapment efficiency was achieved with a CS:DS weight ratio of 0.59:1. The same nanoparticle formulation also showed slow and sustained peptide release over a period of 6 days. In contrast, the formulation containing a lower ratio of CS:DS (0.5:1) was found to have reduced entrapment efficiency and more rapid peptide release characteristics. The results of this study suggest that physicochem. and release characteristics of the CS-DS nanoparticles can be modulated by changing ratios of two ionic polymers. The novel CS-DS nanoparticles prepared by the coacervation process have potential as a carrier for small peptides.
IT **281194-44-3**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(chitosan-dextran sulfate nanoparticles for delivery of an anti-angiogenesis peptide)

L8 ANSWER 11 OF 65 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:960096 CAPLUS
DOCUMENT NUMBER: 141:407838
TITLE: Crystal structure of a C-terminal fragment of the processing proteinase furin in a complex with an inhibitor and its use in drug design
INVENTOR(S): Henrich, Stefan; Than, Manuel; Bode, Wolfram; Kiefersauer, Rainer; Huber, Robert
PATENT ASSIGNEE(S): Max-Planck-Gesellschaft Zur Foerderung Der Wissenschaften E.V., Germany; Proteros Biostructures GmbH
SOURCE: Eur. Pat. Appl., 528 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
EP 1475435	A1	20041110	EP 2004-10704		20040505
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR					
DE 10323513	A1	20041202	DE 2003-10323513		20030524
PRIORITY APPLN. INFO.:			DE 2003-10320879	A	20030509
			DE 2003-10323513	A	20030524

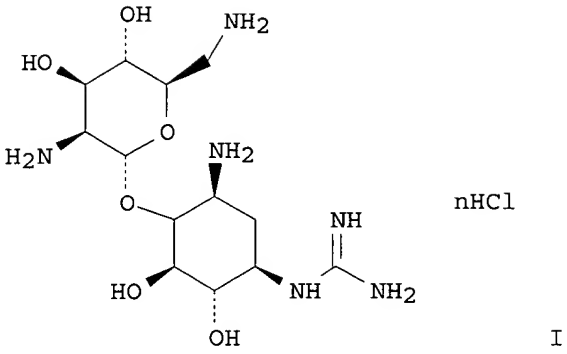
ED Entered STN: 11 Nov 2004
AB A soluble C-terminal fragment of mouse furin in a complex with the inhibitor decanoyl-Arg-Val-Lys-Arg-chloromethylketone is crystallized and the structure of the complex determined at 2.6 Å by X-ray crystallog. The enzyme plays an essential role in protein processing in processes such as embryogenesis and homeostasis and is also implicated in disease processes including tumor metastasis, neurodegeneration and in infectious diseases including anthrax and pathogenic Ebola hemorrhagic fever. Anal. of the structure may therefore be useful in the rational design of inhibitors for therapeutic use (no data.). The protein has an eight-stranded jelly-roll P domain associated with the catalytic domain. A highly structured active site cleft and charged amino acids in the active site explain the stringent sequence requirements for the cleavage site.
IT **281194-44-3 360764-80-3**
RL: PRP (Properties)
(unclaimed sequence; crystal structure of a C-terminal fragment of the processing proteinase furin in a complex with an inhibitor and its use in drug design)
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 12 OF 65 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:927025 CAPLUS
DOCUMENT NUMBER: 141:395758
TITLE: Preparation of amino sugars for treatment of anthrax infection using inhibitors of lethal factor protease activity
INVENTOR(S): Goldman, Mark Evan; O'Malley, Sean; Simo, Ondrej; Nagata, Melissa; Jiao, Guan-Sheng; Hemscheidt, Klaus Thomas; Tang, Peng Cho; Cregar, Lynne
PATENT ASSIGNEE(S): Hawaii Biotech, Inc., USA
SOURCE: PCT Int. Appl., 132 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004093821	A2	20041104	WO 2004-US13737	20040422
WO 2004093821	A3	20051027		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2003-464923P P 20030422
ED Entered STN: 04 Nov 2004
GI



nHCl

I

AB Compds. containing spaced N and/or O, by virtue of their ability to inhibit the protease activity of lethal factor from Bacillus anthracis, are useful in the prevention and treatment of anthrax toxicity. Libraries of these compds. are also useful as substrates for screening methods to identify lethal factor inhibitors. Thus, aminodeoxy pseudo-disaccharide I was prepared for treatment of anthrax infection using inhibitors of lethal factor protease activity.

IT **96337-25-6 206350-77-8 208645-99-2 673202-67-0 786702-03-2**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of amino sugars for treatment of anthrax infection using inhibitors of lethal factor protease activity)

L8 ANSWER 13 OF 65 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:905875 CAPLUS
DOCUMENT NUMBER: 141:390793
TITLE: Compositions and methods for inhibiting binding of MUC1 to PDZ domains and uses in enhancing sensitivity of MUC1 expressing cancer cells to chemotherapeutic agents
INVENTOR(S): Belmares, Michael P.; Lu, Peter S.; Garman, Jonathan David; Jecminek, Albert A.; Kharbanda, Surender; Agata, Naoki; Kufe, Donald W.
PATENT ASSIGNEE(S): Ilex Products, Inc., USA; Arbor Vita Corporation; Dana-Farber Cancer Institute
SOURCE: PCT Int. Appl., 141 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004092339	A2	20041028	WO 2004-US11195	20040412
WO 2004092339	A3	20050512		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,

BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2003-462111P P 20030411
US 2003-467728P P 20030502
US 2003-475595P P 20030604
US 2003-502111P P 20030911
US 2003-524188P P 20031121

ED Entered STN: 29 Oct 2004

AB The present invention provides compns. and methods for inhibiting the binding of the carboxy-terminus of MUC1 to PDZ domain(s) and to enhance the sensitivity of MUC1 expressing cancer cells to chemotherapeutic agents. Specifically, the PDZ domains may suitably be ZO-1 d2, SIP1 dL, LIM MYSTIQUE, AIPC, KIAA0751, MAST2, PRIL-16 dL, GRIP2 d5, SITAC 18, NSP or KIAA1526 dL, and wherein the PDZ domain may be within a MUC1-expressing cancer. The method of enhancing the sensitivity of cancer cells to chemotherapeutic agents comprises contacting the cells with an effective amount of an agent that inhibits the binding of MUC1 to a PDZ domain.

IT **96337-25-6P**
RL: BPN (Biosynthetic preparation); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(amino acid sequence; compns. and methods for inhibiting binding of MUC1 to PDZ domains and uses in enhancing sensitivity of MUC1 expressing cancer cells to chemotherapeutic agents)

L8 ANSWER 14 OF 65 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:780554 CAPLUS
DOCUMENT NUMBER: 141:301422
TITLE: Preparation of heterocyclic ligands for acid-stabilized insulin analogs
INVENTOR(S): Ostergaard, Soren; Olsen, Helle Birk; Kaarsholm, Niels C.; Madsen, Peter; Jakobsen, Palle; Ludvigsen, Svend; Schluckebier, Gerd; Steensgaard, Dorte Bjerre; Petersen, Anders Klarskov
PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.
SOURCE: PCT Int. Appl., 473 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004080480	A1	20040923	WO 2004-DK158	20040311

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: DK 2003-365 A 20030311
US 2003-455400P P 20030317

OTHER SOURCE(S): MARPAT 141:301422
ED Entered STN: 24 Sep 2004
AB Novel ligands for the His-B10 Zn2+ sites of the R-state insulin hexamer that are capable of prolonging the action of insulin prepns. are disclosed. A mixture of 4-aminobenzonitrile, sodium azide and ammonium chloride in DMF was heated at 125° for 16 h. The cooled mixture was filtered and the filtrate was concentrated to give 5-(4-aminophenyl)-2H-tetrazole. This was used as the ligand for His-B10 Zn2+ sites of the R-state insulin hexamer.
IT **762267-91-4P 762267-92-5P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclic ligands for acid-stabilized insulin analogs)
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 15 OF 65 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:701795 CAPLUS
DOCUMENT NUMBER: 141:200229
TITLE: Inhibitors of receptor activator of NF-kappaB (RANK) and uses thereof
INVENTOR(S): Aggarwal, Bharat B.; Darnay, Bryant G.; Singh, Sujay
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 33 pp., Cont.-in-part of U.S. Ser. No. 143,293.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2004167072	A1	20040826	US 2004-786316		20040225
US 2003013170	A1	20030116	US 2002-143293		20020510
PRIORITY APPLN. INFO.:			US 2001-290429P	P	20010511
			US 2002-143293	A2	20020510

ED Entered STN: 27 Aug 2004
AB The invention provides a RANK (receptor activator of NF-κB) inhibitor consisting of a TRAF-6 (TNF receptor-associated factor-6) binding domain attached to a leader sequence. The decoy peptide inhibits RANKL (RANK ligand)-mediated osteoclast differentiation, thus indicating that targeted disruption of interaction between RANK and TRAF6 may prove useful as a therapeutic for metabolic bone disorders, leukemia, arthritis, and metastatic cancer of the bone.
IT **96337-25-6**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(RANK inhibitors and therapeutic uses)

L8 ANSWER 16 OF 65 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:694533 CAPLUS
DOCUMENT NUMBER: 141:362292
TITLE: Inhibition of Furin by Polyarginine-containing Peptides: Nanomolar Inhibition by Nona-D-Arginine
AUTHOR(S): Kacprzak, Magdalena M.; Peinado, Juan R.; Than, Manuel E.; Appel, Jon; Henrich, Stefan; Lipkind, Gregory; Houghten, Richard A.; Bode, Wolfram; Lindberg, Iris
CORPORATE SOURCE: Department of Biochemistry and Molecular Biology, Louisiana State University Health Sciences Center, New Orleans, LA, 70112, USA

SOURCE: Journal of Biological Chemistry (2004), 279(35), 36788-36794
CODEN: JBCHA3; ISSN: 0021-9258
PUBLISHER: American Society for Biochemistry and Molecular Biology
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 26 Aug 2004

AB Polyarginine-containing peptides represent potent inhibitors of furin, a mammalian endoprotease that plays an important role in metabolism, activation of pathogenic toxins, and viral proliferation. The therapeutic use of D-polyarginines is especially interesting because they are not cleaved by furin and possess inhibitory potency almost equal to L-polyarginines. In this study we attempted to determine the important elements within polyarginines that contribute to effective inhibition. Structure-function analyses of polyarginine peptides showed that inhibition by polyarginine-containing peptides appeared to depend on the total number of basic charges of the pos. charged inhibitors bound to the neg. charged substrate binding pocket; peptide positioning did not appear to be rigorously determined Screening of L- and D-decapeptide positional scanning combinatorial peptide libraries indicated a preference for basic residues in nearly all positions, similar to previous results with hexapeptide libraries. Length and terminal modification studies showed that the most potent D-polyarginine tested was nona-D-arginine (D9R) amide with a Ki of 1.3 nM. D9R amide was shown to protect RAW264.7 cells against anthrax toxemia with an IC50 of 3.7 μM. Because of its high stability, specificity, low toxicity, small mol. weight, and extremely low Ki against furin, D9R amide or its derivs. may represent promising compds. for therapeutic use.

IT **216584-12-2**
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(inhibition of furin by polyarginine-containing peptides)

REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 17 OF 65 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:627311 CAPLUS
DOCUMENT NUMBER: 141:288593

TITLE: Cross-inhibition between furin and lethal factor inhibitors

AUTHOR(S): Peinado, Juan R.; Kacprzak, Magdalena M.; Leppla, Stephen H.; Lindberg, Iris
CORPORATE SOURCE: Department of Biochemistry and Molecular Biology, Louisiana State University Health Sciences Center, New Orleans, LA, 70112, USA

SOURCE: Biochemical and Biophysical Research Communications (2004), 321(3), 601-605
CODEN: BBRCA9; ISSN: 0006-291X
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 05 Aug 2004

AB Bacillus anthracis synthesizes two toxins composed of the three proteins: protective antigen (PA), lethal factor (LF), and edema factor (EF). The cleavage of PA on the cell surface by the convertase furin leads to the translocation of LF and EF into the cytosol. The authors have investigated the cross-inhibitory activities of the furin inhibitors hexa--arginine amide (D6R) and nona--arginine amide (D9R), which block the proteolytic activation of PA; and of the LF inhibitor In-2-LF, a peptide hydroxamate. D6R and D9R inhibit LF with IC50s of 300 and 10 μM, resp.; conversely, In-2-LF also inhibits furin (IC50 2 μM). In-2-LF

was efficiently cleaved by furin with the concomitant loss of inhibitory activity on both LF and furin. Incubation of In-2-LF with LF however generated a product that retained partial inhibitory activity against LF. Combined treatment of cells with D6R and In-2-LF enhanced protection against anthrax lethal toxin, indicating that combined administration of inhibitors could represent an effective therapeutic approach.

IT **673202-67-0**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(cross-inhibition between furin and lethal factor inhibitors in relation to treatment of anthrax toxemia)

REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 18 OF 65 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:413089 CAPLUS
DOCUMENT NUMBER: 140:418947
TITLE: A method for optimizing gene expression using synonymous codon optimization for modulating the quality of selected phenotypes
INVENTOR(S): Frazer, Ian Hector
PATENT ASSIGNEE(S): The University of Queensland, Australia
SOURCE: PCT Int. Appl., 86 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004042059	A1	20040521	WO 2003-AU1487	20031110
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1578969	A1	20050928	EP 2003-810343	20031110
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				

PRIORITY APPLN. INFO.: US 2002-425163P P 20021108
WO 2003-AU1487 W 20031110

ED Entered STN: 21 May 2004

AB The present invention discloses a method for modulating the quality of a selected phenotype that is displayed by an organism or part thereof and that results from the expression of a polypeptide-encoding polynucleotide by replacing at least one codon of that polynucleotide with a synonymous codon that has a higher or lower preference of usage by the organism or part thereof to produce the selected phenotype than the codon it replaces. The present invention is also directed to the use of a codon-modified polynucleotide so constructed for modulating the quality of a selected phenotype displayed by an organism or part thereof.

IT **96337-25-6**
RL: PRP (Properties)
(unclaimed sequence; method for optimizing gene expression using

synonymous codon optimization for modulating the quality of selected phenotypes)
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 19 OF 65 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:291962 CAPLUS
DOCUMENT NUMBER: 140:309407
TITLE: Nucleic acid coated particles for gene delivery
INVENTOR(S): Lively, Chris Robert; Delong, Robert
PATENT ASSIGNEE(S): Powderject Research Limited, UK
SOURCE: PCT Int. Appl., 61 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2004028560	A1	20040408	WO 2003-GB4202		20030929
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CA 2500215	AA	20040408	CA 2003-2500215		20030929
EP 1545593	A1	20050629	EP 2003-750990		20030929
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
BR 2003014751	A	20050726	BR 2003-14751		20030929
GB 2410497	A1	20050803	GB 2005-8549		20030929
PRIORITY APPLN. INFO.:			US 2002-414097P	P	20020927
			WO 2003-GB4202	W	20030929

ED Entered STN: 09 Apr 2004
AB Particles are provided which are suitable for delivery from a particle-mediated delivery device. The particles are obtained by precipitating a nucleic acid on inert metal carrier particles in the presence of a nucleic acid condensing agent and a metal ion chelating agent. Also described are processes for preparing the particles, and therapeutic methods using the particles including methods of nucleic acid immunization and gene therapy. An optimal formulation included trehalose, EDTA, ethanol and (Arg)4.
IT **96337-25-6**, Hexaarginine
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(nucleic acid coated particles for gene delivery)
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 20 OF 65 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:203945 CAPLUS
DOCUMENT NUMBER: 140:231208
TITLE: Polypeptides for increasing mutant CFTR channel activity
INVENTOR(S): Robbins, Paul D.; Frizzell, Raymond; Mi, Zhibao; Sun,

Fei
PATENT ASSIGNEE(S): University of Pittsburgh of the Commonwealth System of Higher Education, USA
SOURCE: PCT Int. Appl., 48 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004020596	A2	20040311	WO 2003-US327110	20030828
WO 2004020596	A3	20040902		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004115770	A1	20040617	US 2003-650435	20030828
PRIORITY APPLN. INFO.:			US 2002-407461P P	20020830

ED Entered STN: 14 Mar 2004
AB The present invention provides methods and compns. for enhancing channel activity to the mutant cystic fibrosis trans-membrane conductance regulator protein (CFTR). The compns. of the invention comprise polypeptides containing CFTR sub-domains that are designed to mimic the folding defect of the full length mutant CFTR proteins, resulting in competitive binding to cytoplasmic chaperones such as Hsc/Hsp70 and Hdj2. The methods of the invention comprise transduction, or recombinant expression, of CFTR polypeptides in a cell expressing mutant CFTR. The presence of the CFTR polypeptide results in a dominant effect whereby the CFTR polypeptide competes with the endogenously expressed mutant CFTR for binding to cytoplasmic chaperones such as Hsc/Hsp70 and Hdj2. Mutant CFTR proteins include, but are not limited to, ΔF508 CFTR. The present invention is based on the discovery that reduced binding of cytoplasmic chaperones to the endogenous ΔF508 CFTR, mediated by the presence of CFTR polypeptides, results in restoration of plasma membrane localization and channel activity. The methods and compns. of the invention can be used to restore channel activity in cystic fibrosis subjects carrying genetic defects in the CFTR gene, such as for example, ΔF508 CFTR.
IT **96337-25-6**
RL: BSU (Biological study, unclassified); BUU (Biological use, unclassified); PRP (Properties); BIOL (Biological study); USES (Uses)
(peptide sequence; polypeptides for increasing mutant CFTR channel activity)

L8 ANSWER 21 OF 65 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:80717 CAPLUS
DOCUMENT NUMBER: 140:139545
TITLE: Peptides and peptide derivatives for the treatment of α-synuclein-related diseases
INVENTOR(S): El-Agnaf, Omar M. A.; Allsop, David
PATENT ASSIGNEE(S): The University of Lancaster, UK
SOURCE: PCT Int. Appl., 50 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004009625	A2	20040129	WO 2003-GB3240	20030722
WO 2004009625	A3	20040923		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: GB 2002-16972 A 20020722
ED Entered STN: 01 Feb 2004
AB The invention provides peptides which comprise a sequence of three to twelve contiguous amino acid residues (the 'contiguous sequence') from amino acid residues 61 to 100 of naturally occurring α-synuclein. The contiguous sequence is linked at its N-terminal and/or C-terminal end to one or more further amino acid residue(s) which are more hydrophilic than the amino acid residue to which that end of the sequence is linked in naturally occurring α-synuclein. The invention also provides derivs. and analogs of such peptides. Peptides, derivs. or analogs of the invention are of use in prevention of α-synuclein oligomerization and/or aggregation associated with the diseases known as the synucleinopathies. As a result peptides according to the invention are susceptible of use in the preparation of medicaments, and also in methods of treatment, for prevention and/or treatment of synucleinopathies.
IT **96337-25-6**, Hexa-arginine
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(as membrane-permeable carrier peptide; peptides and peptide derivs. for treatment of α-synuclein-related diseases in relation to bioavailability and cytotoxicity)

L8 ANSWER 22 OF 65 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:80524 CAPLUS
DOCUMENT NUMBER: 140:139544
TITLE: Use of convertase inhibitors in the treatment of fibrosis and scarring
INVENTOR(S): Ferguson, Mark William James; Brunner, Georg
PATENT ASSIGNEE(S): Renovo Limited, UK
SOURCE: PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004009113	A1	20040129	WO 2003-GB3159	20030723

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,

LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,
TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2492331	AA	20040129	CA 2003-2492331		20030723
EP 1556080	A1	20050727	EP 2003-765179		20030723
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
JP 2005535674	T2	20051124	JP 2004-522337		20030723
PRIORITY APPLN. INFO.:			GB 2002-17136	A	20020724
			WO 2003-GB3159	W	20030723

ED Entered STN: 01 Feb 2004
AB The invention relates to use of convertase inhibitors for the reduction of scarring during the healing of wounds and also for reducing fibrosis in the treatment of fibrotic conditions.
IT **96337-25-6**
RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(use of convertase inhibitors in treatment of fibrosis and scarring)
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 23 OF 65 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:9979 CAPLUS
DOCUMENT NUMBER: 140:265976
TITLE: Protection against anthrax toxemia by hexa-D-arginine in vitro and in vivo
AUTHOR(S): Sarac, Miroslav S.; Peinado, Juan R.; Leppla, Stephen H.; Lindberg, Iris
CORPORATE SOURCE: Department of Biochemistry and Molecular Biology, Louisiana State University Health Sciences Center, New Orleans, LA, 70112, USA
SOURCE: Infection and Immunity (2004), 72(1), 602-605
CODEN: INFIBR; ISSN: 0019-9567
PUBLISHER: American Society for Microbiology
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 07 Jan 2004
AB The anthrax toxin protective antigen precursor is activated by proteolytic cleavage by furin or a furin-like protease. The authors present here data demonstrating that the small stable furin inhibitor hexa-D-arginine amide delays anthrax toxin-induced toxemia both in cells and in live animals, suggesting that furin inhibition may represent a reasonable avenue for therapeutic intervention in anthrax.
IT **673202-67-0**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(protection against anthrax toxemia by hexa-D-arginine in vitro and in vivo)
REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 24 OF 65 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:1011276 CAPLUS
DOCUMENT NUMBER: 140:213330
TITLE: Improved planar amperometric nitric oxide sensor based on platinized platinum anode. 2. Direct real-time measurement of NO generated from porcine kidney slices

in the presence of L-arginine, L-arginine polymers, and protamine
AUTHOR(S): Lee, Youngmi; Yang, Joseph; Rudich, Steven M.; Schreiner, Robert J.; Meyerhoff, Mark E.
CORPORATE SOURCE: Department of Chemistry, University of Michigan, Ann Arbor, MI, 48109-1055, USA
SOURCE: Analytical Chemistry (2004), 76(3), 545-551
CODEN: ANCHAM; ISSN: 0003-2700
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 30 Dec 2003
AB Nitric oxide generation from porcine kidney slices is assessed using a new planar NO-selective amperometric sensor. The planar shape of the sensor allows for direct NO measurements near the surface (10 μm) of renal tissue slices in real time. Renal NO production may be modulated by the addition of L-arginine, arginine homopolymers (R2, R6, R10), and protamine, all of which can potentially transport across cellular membranes and provide a substrate for nitric oxide synthase within kidney parenchyma. Real-time amperometric measurements demonstrate that most L-arginine species can translocate across the cell membrane and rapidly increase NO production However, no increase in NO generation is observed when the dimer of L-arginine (R2) is added to the solution bathing the tissue, suggesting that this species cannot permeate cell membranes. The degree of enhancement in NO generation observed for L-arginine and the larger peptides depends on the structure and follows the following sequence: R10 (decamer) > protamine > R6 (hexamer) > L-arginine. Protamine and the R10 decamer, especially, induce the largest increases in NO generation owing to their apparent rapid translocation into cells and subsequent cleavage by proteases to create high intracellular levels of L-arginine. The effect of sensor size (for sensor dimensions of 0.15- and 1-mm outer diams.) on the measured surface NO levels is also examined The larger sensor traps more NO but hinders access of the L-arginine species to the tissue area between the flat distal plane of the sensor and the surface of the kidney slice. The use of such NO-generating peptides may be important in numerous biol. systems that depend on NO production, such as ischemia-reperfusion injury and thrombogenesis.
IT **96337-25-6**
RL: ARU (Analytical role, unclassified); ANST (Analytical study)
(planar amperometric nitric oxide sen)
REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 25 OF 65 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:951189 CAPLUS
DOCUMENT NUMBER: 140:13048
TITLE: Compositions and methods for hemophilia A gene therapy using recombinant human factor VIII
INVENTOR(S): High, Katherine A.; Camire, Rodney M.
PATENT ASSIGNEE(S): The Children's Hospital of Philadelphia, USA
SOURCE: PCT Int. Appl., 57 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2003100053 A1 20031204 WO 2003-US16376 20030522
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
US 2004005670 A1 20040108 US 2003-445235 20030522
PRIORITY APPLN. INFO.: US 2002-382486P P 20020522
ED Entered STN: 07 Dec 2003
AB Improved materials and methods for the treatment of Hemophilia A are provided. Specifically, recombinant human factor VIII with deletions between amino acid 740, or 730, or 720 to 1689, which lacks some of A2 and B-domain of heavy chain, and some of A3 domain of light chain, are disclosed for Hemophilia A gene therapy. Also provided are minigene constructs expressing two fragments of factor VIII with a linker peptide, RRRR or RKRRKR, which containing PACE-furin cleavage sites. These recombinant factor VIII expressed in mammalian cell lines have 5-13-fold greater activity in a one-stage APTT clotting assay compared to rFVIII-SQ (a reported shortest version of FVIII gene), which lacks the major part of the central B-domain.
IT **360764-74-5**
RL: BUU (Biological use, unclassified); PRP (Properties); BIOL (Biological study); USES (Uses)
(PACE furin or PACE furin-like cleavage site; compns. and methods for hemophilia A gene therapy using recombinant human factor VIII)
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 26 OF 65 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:940018 CAPLUS
DOCUMENT NUMBER: 140:178105
TITLE: Mutations in gp41 and gp120 of HIV-1 isolates resistant to hexa-arginine neomycin B conjugate
AUTHOR(S): Borkow, Gadi; Lara, Humberto Herman; Lapidot, Aviva
CORPORATE SOURCE: Department of Organic Chemistry, The Weizmann Institute of Science, Rehovot, 76100, Israel
SOURCE: Biochemical and Biophysical Research Communications (2003), 312(4), 1047-1052
CODEN: BBRCA9; ISSN: 0006-291X
PUBLISHER: Elsevier Science
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 03 Dec 2003
AB Aminoglycoside-arginine conjugates (AACs) inhibit HIV-1 replication and act as Tat antagonists. AACs compete with monoclonal antibody binding to CXCR4, compete with SDF-1α and HIV-1 gp120 cellular uptake, indicating that they interfere with initial steps of HIV-1 infection. Here, the authors present the selection of HIV-1 isolates resistant to hexa-arginine neomycin B conjugate (NeoR6), the most potent anti-HIV-1 AAC. They found in the NeoR6-resistant isolates the following mutations in gp120: I339T in the C3 region, S372L in the V4 region, and Q395K in the C4 region; and in gp41: S668R and F672Y in the heptad repeat' 2 (HR2) region. These findings strongly suggest that NeoR6 obstructs HIV-1 replication by interfering with the fusion step, dependent on both conformational changes in gp120 following CD4 and CXCR4 interaction, as

well as by conformational changes in gp41 induced by HR1 and HR2 interaction. The AACs may thus represent a novel family of fusion inhibitors.

IT **96337-25-6D**, Hexa-arginine, conjugates with neomycin B
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(mutations in gp41 and gp120 of HIV-1 isolates resistant to hexa-arginine neomycin B conjugate)

REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 27 OF 65 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:931520 CAPLUS
DOCUMENT NUMBER: 140:745
TITLE: Aquaporin-2 modulation-based method for the detection of aquaretic compounds
INVENTOR(S): Jonassen, Thomas; Hadrup, Niels; Petersen, Jorgen Soberg
PATENT ASSIGNEE(S): Zealand Pharma A/S, Den.
SOURCE: PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003097857	A2	20031127	WO 2003-DK328	20030515
WO 2003097857	A3	20040108		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-381521P P 20020517
OTHER SOURCE(S): MARPAT 140:745
ED Entered STN: 28 Nov 2003
AB Disclosed is a method for detecting an aquaretic compound In one embodiment, the method includes administering to a mammal a candidate compound that modulates a nociceptin receptor. Biol. material is isolated from the mammal and expression of aquaporin-2 is measured. Modulation of the aquaporin-2 is taken to be indicative of a candidate compound having aquaretic activity. The invention has a wide spectrum of uses including helping to identify new diuretics that spare unwanted loss of sodium and potassium ions.

IT **96337-25-6**
RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(aquaporin-2 modulation-based method for detection of aquaretic compds.)

L8 ANSWER 28 OF 65 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:930859 CAPLUS
DOCUMENT NUMBER: 140:14513
TITLE: Identification and therapeutic use of peptides that

facilitate uptake and cytoplasmic and nuclear transport of proteins, DNA and viruses
INVENTOR(S): Robbins, Paul D.; Mi, Zhibao; Frizzell, Raymond; Glorioso, Joseph C.; Gambotto, Andrea; Mai, Jeffrey C.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 140 pp., Cont.-in-part of U.S. Ser. No. 75,869.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2003219826	A1	20031127	US 2003-366493		20030212
US 6881825	B1	20050419	US 2000-653182		20000831
US 2003104622	A1	20030605	US 2002-75869		20020213
PRIORITY APPLN. INFO.:			US 1999-151980P	P	19990901
			US 2000-188944P	P	20000313
			US 2000-653182	A2	20000831
			US 2002-75869	A2	20020213

ED Entered STN: 28 Nov 2003
AB The present invention relates to internalizing peptides which facilitate the uptake and transport of cargo into the cytoplasm and nuclei of cells as well as methods for the identification of such peptides. The internalizing peptides of the present invention are selected for their ability to efficiently internalize cargo into a wide variety of cell types both in vivo and in vitro. The method for identification of the internalizing peptides of the present invention comprises incubating a target cell with a peptide display library, isolating peptides with internalization characteristics and determining the ability of said peptide to internalize cargo into a cell. The peptides of the invention are useful in therapeutic applications, such as: stimulating the immune response in a subject; selectively inducing apoptosis in cells, such as cancer and arthritic cells; facilitatating transfer of proteins and peptides to the lung for treatment of cystic fibrosis, lung inflammation or injury.
IT **96337-25-6P**
RL: BPN (Biosynthetic preparation); BSU (Biological study, unclassified); BUU (Biological use, unclassified); CST (Combinatorial study, unclassified); PRP (Properties); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)
(identification and therapeutic use of peptides that facilitate uptake and cytoplasmic and nuclear transport of proteins, DNA and viruses)

L8 ANSWER 29 OF 65 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:851595 CAPLUS
DOCUMENT NUMBER: 140:1952
TITLE: Anion-Mediated Transfer of Polyarginine across Liquid and Bilayer Membranes
AUTHOR(S): Sakai, Naomi; Matile, Stefan
CORPORATE SOURCE: Department of Organic Chemistry, University of Geneva, Geneva, Switz.
SOURCE: Journal of the American Chemical Society (2003), 125(47), 14348-14356
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 31 Oct 2003

AB The accumulation of reports on the puzzling behavior of guanidinium-rich oligo/polymers in bilayer membranes, reaching from HIV-Tat-like (HIV Tat is the human immunodeficiency virus transactivator of transcription) translocation to selectivity and voltage-gating of ion channels, prompted us to investigate possible contributions from counteranions to these phenomena. We report that anion-mediated variability of charge and solubility makes guanidinium-rich oligo/polymers adaptable to many environments. For example, poly- and hexaarginine but not polylysine phase transferred from water into chloroform in the presence of amphiphilic anions such as monomeric sodium dodecyl sulfate (SDS), egg yolk phosphatidylglycerol (EYPG), cholesterol sulfate, pyrenebutyrate, and stearate. Hydrophilic anions with high affinity inhibited phase transfer of 5(6)-carboxyfluorescein (CF)-polyarginine complexes into bulk membranes (sulfate, ATP, AMP, heparin, and micellar SDS). At least binary anion cocktails were necessary to activate polyarginine as a carrier in bulk chloroform membranes. Refined combinations of EYPG, phosphate, and azide or TFA were found to maximize translocation of CF across bulk membranes by polyarginine. Polyarginine-mediated CF efflux from large unilamellar vesicles was best in the presence of EYPG in the bilayer as well as phosphate and TFA in the medium. Similar regulatory activities of several anions were in support of a common carrier mechanism for guanidinium-rich oligo/polymers in bulk and bilayer membranes. The identified activities of polyarginine in bulk and lipid membranes suggested that anion-mediated adaptability of the solubility of guanidinium-rich oligo/polymers cannot be ignored in studies on biol. function. The infinite variability and dynamic nature of available regulatory anion cocktails may contribute to the elusive character of guanidinium-rich oligo/polymer function in biomembranes.

IT **96337-25-6**, Hexaarginine
RL: BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); BIOL (Biological study); PROC (Process)
(anion-mediated transfer of polyarginine across liquid and bilayer membranes)

REFERENCE COUNT: 70 THERE ARE 70 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 30 OF 65 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:737853 CAPLUS
DOCUMENT NUMBER: 139:256284
TITLE: Recombinase fusion protein containing nuclear localization signal and protein transduction domain with enhanced cellular uptake and use in mutagenesis for vertebrate genome functional studies
INVENTOR(S): Edenhofer, Frank Oliver Stefan; Peitz, Michael; Pfannkuche, Kurt; Rajewski, Klaus
PATENT ASSIGNEE(S): Artemis Pharmaceuticals G.m.b.H., Germany
SOURCE: PCT Int. Appl., 65 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003076561	A2	20030918	WO 2003-EP2280	20030306
WO 2003076561	A3	20040122		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,

GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT,
TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1342781 A1 20030910 EP 2002-5468 20020309
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

PRIORITY APPLN. INFO.: EP 2002-5468 A 20020309
US 2002-363797P P 20020313

ED Entered STN: 19 Sep 2003

AB The present invention relates to a fusion protein comprising a site-specific DNA recombinase domain such as Cre recombinase, and a domain containing a specific modified nuclear localization signal. The fusion protein may further comprise a protein transduction domain (PTD) such as the hydrophobic FGF and basic TAT peptide. The specific nuclear localization signal - alone, or together with the protein transduction domain - promotes the cellular uptake of the recombinase. The fusion protein is a powerful tool for efficient genetic engineering of mammalian genomes. The invention further relates to DNA sequences coding for said fusion protein, methods for producing said fusion protein and its use as an agent for inducing gene targeting in a living organism or in cultured cells. In particular, the potential of a hydrophobic peptide modified from Kaposi fibroblast growth factor with a basic peptide derived from HIV-TAT to promote cellular uptake of recombinant Cre is studied. Specifically demonstrated is the production and characterization of a Cre protein that enters mammalian cells and subsequently performs recombination with high efficiency in a time- and concentration-dependent manner.

In contrast to histidine-tagged Cre recombinase and NLS-Cre (containing only a nuclear localization signal) and NLS-Cre containing fibroblast growth factor transduction peptide, Cre fusion protein containing TAT peptide significantly enhanced cellular uptake and subsequent recombination. Furthermore, His-TAT-NLS-Cre fusion protein enable >95% recombination efficiency in fibroblast cells, as well as murine embryonic stem cells, in addition of efficient recombination in primary splenocytes ex vivo. His-TAT-NLS-Cre, producible in large quantities from a bacterial source is expected to expand the abilities to manipulate mammalian genomes as well as other vertebrates.

IT **96337-25-6**
RL: PRP (Properties)
(Unclaimed; recombinase fusion protein containing nuclear localization signal and protein transduction domain with enhanced cellular uptake and use in mutagenesis for vertebrate genome functional studies)

L8 ANSWER 31 OF 65 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:717227 CAPLUS
DOCUMENT NUMBER: 139:241319
TITLE: Recombinase fusion protein with enhanced cellular uptake for inducing target gene alterations in living organisms or in cell cultures
INVENTOR(S): Edenhofer, Frank Oliver Stefan; Peitz, Michael; Pfannkuche, Kurt; Rajewski, Klaus
PATENT ASSIGNEE(S): Artemis Pharmaceuticals G.m.b.H., Germany
SOURCE: Eur. Pat. Appl., 55 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1342781	A1	20030910	EP 2002-5468	20020309
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
WO 2003076561	A2	20030918	WO 2003-EP2280	20030306
WO 2003076561	A3	20040122		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: EP 2002-5468 A 20020309
US 2002-363797P P 20020313

ED Entered STN: 12 Sep 2003

AB The present invention relates to a fusion protein comprising a site-specific DNA recombinase domain such as Cre recombinase, and a domain containing a specific modified nuclear localization signal. The fusion protein may further comprise a protein transduction domain such as the hydrophobic FGF and basic TAT peptide. The specific nuclear localization signal - alone, or together with the protein transduction domain - promotes the cellular uptake of the recombinase. The fusion protein is a powerful tool for efficient genetic engineering of mammalian genomes, living organisms or cell cultures. The invention further relates to DNA sequences coding for said fusion protein, methods for producing said fusion protein and its use as an agent for inducing gene targeting in a living organism or in cultured cells.

IT **96337-25-6**
RL: PRP (Properties)
(unclaimed sequence; recombinase fusion protein with enhanced cellular uptake for inducing target gene alterations in living organisms or in cell cultures)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 32 OF 65 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:435239 CAPLUS
DOCUMENT NUMBER: 139:32886
TITLE: Identification of peptides that facilitate uptake and cytoplasmic and/or nuclear transport of proteins, DNA and viruses
INVENTOR(S): Robbins, Paul D.; Mi, Zhibao; Frizzell, Raymond; Glorioso, Joseph C.; Gambotto, Andrea
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 110 pp., Cont.-in-part of U.S. Ser. No. 653,182.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003104622	A1	20030605	US 2002-75869	20020213
US 6881825	B1	20050419	US 2000-653182	20000831
WO 2003068942	A2	20030821	WO 2003-US4632	20030212
WO 2003068942	A3	20040701		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2003219826	A1	20031127	US 2003-366493		20030212
US 2005074884	A1	20050407	US 2004-926893		20040826
PRIORITY APPLN. INFO.:			US 1999-151980P	P	19990901
			US 2000-188944P	P	20000313
			US 2000-653182	A2	20000831
			US 2002-75869	A	20020213

ED Entered STN: 06 Jun 2003

AB The present invention relates to internalizing peptides which facilitate the uptake and transport of cargo into the cytoplasm and nuclei of cells as well as methods for the identification of such peptides. The internalizing peptides of the present invention are selected for their ability to efficiently internalize cargo into a wide variety of cell types both in vivo and in vitro. The method for identification of the internalizing peptides of the present invention comprises incubating a target cell with a peptide display library, isolating peptides with internalization characteristics and determining the ability of said peptide to internalize cargo into a cell. Various cells and cell lines were panned with a phage display library for internalizing peptides. Internalizing peptides PTD-5 and Airway peptide were prepared and coupled to β-galactosidase. PTD-5 achieved more efficient uptake of β-gal in comparison to Airway peptide in Calu3 cells, but the Airway peptide demonstrated greater specificity for Calu3 cells. PTD-5 indiscriminately facilitates uptake in multiple cell types in the murine lung, whereas Airway peptide facilitates uptake specifically into lung epithelia. NF-κB-mediated apoptosis in islet cells was inhibited with a peptide containing PTD-5 and IκB.

IT **96337-25-6**
RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(effect on β-galactosidase uptake; identification and use of peptides that facilitate uptake and cytoplasmic and/or nuclear transport of proteins, DNA and viruses)

L8 ANSWER 33 OF 65 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:	2003:355831 CAPLUS
DOCUMENT NUMBER:	138:362629
TITLE:	Inhibiting furin with polybasic peptides for use in treating bacterial infections, viral infections, and cancer
INVENTOR(S):	Lindberg, Iris; Cameron, Angus; Appel, Jon; Houghten, Richard
PATENT ASSIGNEE(S):	USA
SOURCE:	U.S. Pat. Appl. Publ., 30 pp.

CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003087827	A1	20030508	US 2001-906311	20010716

PRIORITY APPLN. INFO.: US 2001-906311 20010716
ED Entered STN: 09 May 2003
AB Small, polybasic peptides are disclosed that are effective as furin inhibitors, e.g. hexa- to nona-peptides having L-Arg or L-Lys in most positions. Removing the peptide terminating groups can improve inhibition of furin. High inhibition was seen in a series of non-amidated and non-acetylated polyarginines. The most potent inhibitor identified to date, nona-L-arginine, had a Ki against furin of 40 nM. Non-acetylated, poly-D-arginine-derived mols. are preferred furin inhibitors for therapeutic uses, such as inhibiting certain bacterial infections, viral infections, and cancers. Due to their relatively small size, these peptides should be non-immunogenic. These peptides are efficiently transported across cell membranes.
IT **96337-25-6 216584-12-2 281194-44-3**
360764-80-3
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(inhibiting furin with polybasic peptides for use in treating bacterial infections, viral infections, and cancer)

L8 ANSWER 34 OF 65 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:221708 CAPLUS
DOCUMENT NUMBER: 138:270280
TITLE: HIV1 envelope glycoprotein mutants for use as AIDS vaccines
INVENTOR(S): Moore, John P.; Binley, James M.; Lu, Min; Olson, William C.; Schulke, Norbert; Gardner, Jason; Maddon, Paul J.; Sanders, Rogier
PATENT ASSIGNEE(S): Progenics Pharmaceuticals, Inc., USA; Cornell Research Foundation, Inc.
SOURCE: PCT Int. Appl., 316 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003022869	A2	20030320	WO 2002-US28331	20020906
WO 2003022869	A3	20040722		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2459426	AA	20030320	CA 2002-2459426	20020906
EP 1461079	A2	20040929	EP 2002-770472	20020906
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2005502350	T2	20050127	JP 2003-526942	20020906
US 2005089526	A1	20050428	US 2003-489040	20020906
PRIORITY APPLN. INFO.:			US 2001-317764P	P 20010906
			US 2001-317775P	P 20010906
			US 2001-317909P	P 20010906
			US 2001-317910P	P 20010906
			US 2002-370264P	P 20020405
			US 2002-370410P	P 20020405
			WO 2002-US28331	W 20020906

ED Entered STN: 21 Mar 2003

AB This invention provides stable HIV-1 pre-fusion envelope glycoprotein trimeric complexes. These complexes are formed from gp120 and gp41 mutants, the gp41 having mutations in its N-terminal helix, and both proteins having a cysteine substitution such that a disulfide bond between gp120 and gp41 can form. This invention also provides related polypeptides and compns. comprising pharmaceutically acceptable particles and the trimeric complexes operably affixed thereto. This invention further provides related nucleic acids, vectors, host cells, compns., production methods, and prophylactic and therapeutic methods. Thus, trimerization of HIV-1 gp140 was favored by deletion of variable loops V1, V2, and/or V3 and by substituting helix-destabilizing proline or glycine residues in the N-terminal heptad repeat region of gp41. Mutation of the furin cleavage site between gp120 and gp41 was found to facilitate cleavage of the gp140 precursor by furin as well as by endogenous proteases, thus facilitating vaccine production

IT **360764-73-4**
RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(modified furin cleavage site of gp140; HIV1 envelope glycoprotein mutants for use as AIDS vaccines)

L8 ANSWER 35 OF 65 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:202419 CAPLUS
DOCUMENT NUMBER: 138:215298
TITLE: Methods and peptide compositions for treating inflammatory disorders
INVENTOR(S): Lazarus, Douglas; Hannig, Gerhard
PATENT ASSIGNEE(S): Praecis Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 35 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003020213	A2	20030313	WO 2002-US27421	20020827
WO 2003020213	A3	20040311		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,				

KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2458677	AA	20030313	CA 2002-2458677	20020827
US 2003083262	A1	20030501	US 2002-229915	20020827
EP 1432728	A2	20040630	EP 2002-797770	20020827
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2005525998	T2	20050902	JP 2003-524527	20020827
PRIORITY APPLN. INFO.:			US 2001-316328P	P 20010830
			WO 2002-US27421	W 20020827

OTHER SOURCE(S): MARPAT 138:215298
ED Entered STN: 14 Mar 2003
AB The present invention provides methods and peptide compns. for treating inflammatory disorders, e.g., asthma, lung inflammation or cancer.
IT **96337-25-6P**
RL: PAC (Pharmacological activity); PNU (Preparation, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(methods and peptide compns. for treating inflammatory disorders)

L8 ANSWER 36 OF 65 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:946061 CAPLUS
DOCUMENT NUMBER: 138:35752
TITLE: Preparation and uses of conditioned cell culture media
INVENTOR(S): Mansbridge, Jonathan
PATENT ASSIGNEE(S): Advanced Tissue Sciences, Inc., USA
SOURCE: PCT Int. Appl., 75 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002098365	A2	20021212	WO 2002-US18057	20020607
WO 2002098365	A3	20030410		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2452865	AA	20021212	CA 2002-2452865	20020607
EP 1406573	A2	20040414	EP 2002-744248	20020607
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2004142861	A1	20040722	US 2002-165860	20020607
JP 2004534049	T2	20041111	JP 2003-501407	20020607
PRIORITY APPLN. INFO.:			US 2001-297177P	P 20010607
			WO 2002-US18057	W 20020607

ED Entered STN: 13 Dec 2002
AB The invention relates to compns. comprising cell culture medium conditioned by cells grown in three-dimensional culture. The cells used to condition the medium may be genetically modified to alter the concentration of

growth factors and antioxidants in the medium. The conditioned cell medium (conditioned medium) may be used for at least one of cosmetic applications, cosmeceutical applications, and pharmaceutical applications, among other things. The invention also relates to proteins comprising a heterologous sequence that enhances cell penetration. The invention also relates to cells comprising DNA encoding such proteins. Methods for preparing the inventive compds. are also provided.

IT **96337-25-6P**
RL: BPN (Biosynthetic preparation); BUU (Biological use, unclassified); PRP (Properties); BIOL (Biological study); PREP (Preparation); USES (Uses)
(amino acid sequence, genetically engineered; preparation and uses of conditioned cell culture media)

L8 ANSWER 37 OF 65 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:908225 CAPLUS
DOCUMENT NUMBER: 139:354
TITLE: The furin inhibitor hexa-D-arginine blocks the activation of Pseudomonas aeruginosa exotoxin A in vivo
AUTHOR(S): Sarac, Miroslav S.; Cameron, Angus; Lindberg, Iris
CORPORATE SOURCE: Department of Biochemistry and Molecular Biology, Louisiana State University Health Sciences Center, New Orleans, LA, 70112, USA
SOURCE: Infection and Immunity (2002), 70(12), 7136-7139
CODEN: INFIBR; ISSN: 0019-9567
PUBLISHER: American Society for Microbiology
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 01 Dec 2002
AB The Pseudomonas aeruginosa exotoxin A (PEA) protein requires furin-mediated cleavage for manifestation of toxicity. We show here that the small stable furin inhibitor hexa-D-arginine amide effectively blocks PEA-induced cell lysis and is itself noncytotoxic. Administration of hexa-D-arginine to PEA-treated mice significantly improves their survival rate and also decreases circulating levels of tumor necrosis factor alpha.
IT **216584-12-2**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(the furin inhibitor hexa-D-arginine blocks the activation of Pseudomonas aeruginosa exotoxin A in vivo)
REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 38 OF 65 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:646238 CAPLUS
DOCUMENT NUMBER: 138:120295
TITLE: Efficiency of protein transduction is cell type-dependent and is enhanced by dextran sulfate
AUTHOR(S): Mai, Jeffrey C.; Shen, Hongmei; Watkins, Simon C.; Cheng, Tao; Robbins, Paul D.
CORPORATE SOURCE: Department of Molecular Genetics and Biochemistry, University of Pittsburgh School of Medicine, Pittsburgh, PA, 15261, USA
SOURCE: Journal of Biological Chemistry (2002), 277(33), 30208-30218
CODEN: JBCHA3; ISSN: 0021-9258
PUBLISHER: American Society for Biochemistry and Molecular Biology
DOCUMENT TYPE: Journal
LANGUAGE: English

ED Entered STN: 27 Aug 2002
AB Protein transduction domains (PTDs), both naturally occurring and synthetic, have been increasingly utilized to deliver biol. active agents to a variety of cell types in vitro and in vivo. We report that in addition to previously characterized arginine-rich PTDs, including TAT, lysine homopolymers were able to mediate transduction of a wide variety of cell types, as measured by flow cytometric and enzymic assays. The efficiency of PTD-mediated transduction was influenced by the cell type tested, although polylysine homopolymers demonstrate levels of internalization that consistently exceeded those of TAT and arginine homopolymers. Transduction of arginine/lysine-rich PTDs occurred at 4 °C and following depletion of cellular ATP pools, albeit generally at reduced levels. Although transduction was reduced in Chinese hamster ovary mutant lines deficient in either heparan sulfate or glycosaminoglycan synthesis, uptake was restored to wild-type levels by incubating target cells with dextran sulfate. The enhancement of transduction by dextran sulfate suggests that electrostatic interactions play an important first step in the process by which PTDs and their cargoes traverse the plasma membrane.
IT **96337-25-6**
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(efficiency of protein transduction is cell type-dependent and is enhanced by dextran sulfate)
REFERENCE COUNT: 64 THERE ARE 64 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 39 OF 65 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:409334 CAPLUS
DOCUMENT NUMBER: 137:136445
TITLE: Translocation of branched-chain arginine peptides through cell membranes: Flexibility in the spatial disposition of positive charges in membrane-permeable peptides
AUTHOR(S): Futaki, Shiroh; Nakase, Ikuhiko; Suzuki, Tomoki; Zhang, Youjun; Sugiura, Yukio
CORPORATE SOURCE: Institute for Chemical Research, Kyoto University, Uji Kyoto, 611-0011, Japan
SOURCE: Biochemistry (2002), 41(25), 7925-7930
CODEN: BICHAW; ISSN: 0006-2960
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 02 Jun 2002
AB A basic peptide derived from HIV-1 Tat has been reported to have the ability to translocate through cell membranes and to bring exogenous proteins into cells. The authors have demonstrated that these features could be observed among many arginine-rich peptides, and the presence of a ubiquitous internalization mechanism for arginine-rich oligopeptides has been suggested. In this report, the authors report that these features are also applicable to the peptides having branched-chain structures. Peptides that have arginine residues on four branched chains (Rn)4 [n (number of arginine residues) = 0-6] were prepared Fluorescence microscopic observation revealed that the (R2)4 peptide exhibited the most efficient translocation. The dependence on the number of arginine residues of the translocation efficiency and cellular localization was also observed for the branched-chain peptides as was seen in the linear peptides. Quite interestingly, efficient translocation was also recognized in the (RG3R)4 peptide, where three glycine residues intervened between two arginine residues on each chain of (R2)4. The results strongly suggested that a linear structure was not indispensable for the translocation of arginine-rich peptides and that there could be considerable flexibility in

the location of the arginine residue in the mols.

IT **444901-57-9**
RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(translocation of branched-chain arginine peptides and conjugates with carbonic anhydrase through HeLa cell membranes)

REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 40 OF 65 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:816734 CAPLUS
DOCUMENT NUMBER: 135:352790
TITLE: Anti-inflammatory compounds and uses thereof
INVENTOR(S): May, Michael J.; Ghosh, Sankar; Findeis, Mark A.; Phillips, Kathryn
PATENT ASSIGNEE(S): Praecis Pharmaceuticals Incorporated, USA; Yale University
SOURCE: PCT Int. Appl., 88 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2001083554	A2	20011108	WO 2001-US14346		20010502
WO 2001083554	A3	20020801			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
US 6864355	B1	20050308	US 2000-643260		20000822
CA 2414296	AA	20011108	CA 2001-2414296		20010502
EP 1280820	A2	20030205	EP 2001-935035		20010502
EP 1280820	B1	20041013			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
US 2003054999	A1	20030320	US 2001-847946		20010502
JP 2003531918	T2	20031028	JP 2001-580978		20010502
AT 279438	E	20041015	AT 2001-935035		20010502
ES 2231494	T3	20050516	ES 2001-1935035		20010502
PRIORITY APPLN. INFO.:			US 2000-201261P	P	20000502
			US 2000-643260	A	20000822
			WO 2001-US14346	W	20010502

OTHER SOURCE(S): MARPAT 135:352790
ED Entered STN: 09 Nov 2001
AB The present invention provides anti-inflammatory compds., pharmaceutical compns. thereof, and methods of use thereof for treating inflammatory disorders. The present invention also provides methods of identifying anti-inflammatory compds. and methods of inhibiting NF-κB-dependent target gene expression in a cell. The present invention is based , at least in part, on the identification of the NEMO (NF-κB essential modulator) binding domain (NBD) on IκB kinase-α (IKKα) and on IκB kinase-β (IKKβ). Accordingly, in one aspect,

the present invention provides anti-inflammatory compds. which are peptides comprising a NEMO binding domain. In on embodiment, the present invention provides anti-inflammatory compds. comprising fusion peptides of a NEMO binding domain and at least one membrane translocation domain. The membrane translocation domain facilitates membrane translocation of the anti-inflammatory compds.

IT **96337-25-6 216584-12-2**
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(membrane translocation domain; fusion peptides comprising membrane translocation domain and NEMO (NF-κB essential modulator) binding domain as anti-inflammatory compds. and uses thereof)

L8 ANSWER 41 OF 65 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:676613 CAPLUS
DOCUMENT NUMBER: 135:237111
TITLE: Arginine-rich vascular endothelial growth factor-inhibiting peptides for use in growth and metastasis inhibition of human tumor cells and for use in treating angiogenesis-related diseases
INVENTOR(S): Chae, Chi Bom; Bae, Dong Goo; Yoon, Wan Hee
PATENT ASSIGNEE(S): Korea Green Cross Corporation, S. Korea; Postech Foundation
SOURCE: PCT Int. Appl., 64 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001066127	A1	20010913	WO 1999-KR796	19991221
W: CA, JP, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 1162991	A1	20011219	EP 1999-960007	19991221
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				

PRIORITY APPLN. INFO.: WO 1999-KR796 W 19991221
ED Entered STN: 14 Sep 2001
AB Disclosed are novel peptides inhibitory of the activity of vascular endothelial growth factor (VEGF) and their use in the treatment of angiogenesis-related diseases, including cancer. A combinatorial library of peptides consisting of six amino acid residues were chemical synthesized and, from the library, specific amino acid residues for each amino acid position were screened by comparing their inhibitory activity against VEGF binding to the cell surface receptor. The novel peptide sequences thus obtained bind to VEGF and block the binding of VEGF to its receptors present on the surface of vascular endothelial cells, thereby inhibiting the hormonal activity of VEGF. The peptides inhibit the angiogenesis induced by VEGF and human cancer cells. Also, the peptides inhibit growth and metastasis of human cancer cells transplanted to mice. Thus, the peptides can be used to treat angiogenesis-related diseases, including cancer, diabetic retinopathy, rheumatoid arthritis, etc. Pharmaceutical compns. are also claimed.
IT **96337-25-6 281194-44-3 281194-45-4 360764-73-4 360764-74-5 360764-75-6 360764-76-7 360764-77-8 360764-78-9**

360764-79-0 360764-80-3 360764-81-4
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(arginine-rich vascular endothelial growth factor-inhibiting peptides for use in growth and metastasis inhibition of human tumor cells and for use in treating angiogenesis-related diseases)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 42 OF 65 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:311711 CAPLUS
DOCUMENT NUMBER: 135:43973
TITLE: Characteristics of membrane permeable arginine-rich peptides
AUTHOR(S): Suzuki, Tomoki; Ohashi, Wakana; Nakase, Ikuhiko; Tanaka, Seigo; Ueda, Kunihiro; Futaki, Shiroh; Sugiura, Yukio
CORPORATE SOURCE: Institute for Chemical Research, Kyoto University, Kyoto, 611-0011, Japan
SOURCE: Peptide Science (2001), Volume Date 2000, 37th, 89-92
CODEN: PSCIFQ; ISSN: 1344-7661
PUBLISHER: Japanese Peptide Society
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 02 May 2001
AB Arginine-rich basic peptides have been reported to be cell membrane-permeable and to have a function of protein delivery into cells. Arginine residues in these peptides are considered to play a critical role for the characteristics. Fluorescence microscopic observation and quantification of the internalized (Arg)n peptides (n=4,6,8,10,12,16) to mouse macrophage RAW264.7 cells revealed the existence of the optimal chain length for the efficient translocation.
IT **96337-25-6**
RL: BOC (Biological occurrence); BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); OCCU (Occurrence); PROC (Process)
(cell membrane permeability for arginine-rich peptides)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 43 OF 65 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:265561 CAPLUS
DOCUMENT NUMBER: 134:290399
TITLE: Compositions and methods for tumor-targeted delivery of effector molecules
INVENTOR(S): Bermudes, David G.; King, Ivan C.; Clairmont, Caroline A.; Lin, Stanley L.; Belcourt, Michael
PATENT ASSIGNEE(S): Vion Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 185 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001025397	A2	20010412	WO 2000-US23242	20000824
WO 2001025397	A3	20020124		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2386465	AA	20010412	CA 2000-2386465		20000824
AU 2000069334	A5	20010510	AU 2000-69334		20000824
EP 1261369	A2	20021204	EP 2000-957764		20000824
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL					
JP 2004500042	T2	20040108	JP 2001-528552		20000824
BR 2000014491	A	20040309	BR 2000-14491		20000824
NZ 518354	A	20050225	NZ 2000-518354		20000824
US 6962696	B1	20051108	US 2000-645415		20000824
US 2004229338	A1	20041118	US 2003-738423		20031216
US 2005249706	A1	20051110	US 2005-82544		20050317
PRIORITY APPLN. INFO.:			US 1999-157500P	P	19991004
			US 1999-157581P	P	19991004
			US 1999-157637P	P	19991004
			US 2000-645415	A3	20000824
			WO 2000-US23242	W	20000824

ED Entered STN: 13 Apr 2001

AB The present application discloses the preparation and use of attenuated tumor-targeted bacteria vectors for the delivery of one or more primary effector mol.(s) to the site of a solid tumor. The primary effector mol(s). of the invention is used in the methods of the invention to treat a solid tumor cancer such as a carcinoma, melanoma, lymphoma, or sarcoma. The invention relates to the surprising discovery that effector mols., which may be toxic when administered systemically to a host, can be delivered locally to tumors by attenuated tumor-targeted bacteria with reduced toxicity to the host. The application also discloses the delivery of one or more optional effector mol.(s) (termed secondary effector mols.) which may be delivered by the attenuated tumor-targeted bacteria in conjunction with the primary effector mol.(s).

IT **96337-25-6**, Hexaarginine
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(ferry peptide; bacterial vectors for tumor-targeted delivery of effector mols.)

L8 ANSWER 44 OF 65 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:166563 CAPLUS
DOCUMENT NUMBER: 134:337296
TITLE: Arginine-rich peptides: an abundant source of membrane-permeable peptides having potential as carriers for intracellular protein delivery
AUTHOR(S): Futaki, Shiroh; Suzuki, Tomoki; Ohashi, Wakana; Yagami, Takeshi; Tanaka, Seigo; Ueda, Kunihiro; Sugiura, Yukio
CORPORATE SOURCE: Institute for Chemical Research, Kyoto University, Kyoto, 611-0011, Japan
SOURCE: Journal of Biological Chemistry (2001), 276(8), 5836-5840
CODEN: JBCHA3; ISSN: 0021-9258
PUBLISHER: American Society for Biochemistry and Molecular

Biology
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 09 Mar 2001
AB A basic peptide derived from human immunodeficiency virus (HIV)-1 Tat protein (positions 48-60) has been reported to have the ability to translocate through the cell membranes and accumulate in the nucleus, the characteristics of which are utilized for the delivery of exogenous proteins into cells. Based on the fluorescence microscopic observations of mouse macrophage RAW264.7 cells, we found that various arginine-rich peptides have a translocation activity very similar to Tat-(48-60). These included such peptides as the D-amino acid- and arginine-substituted Tat-(48-60), the RNA-binding peptides derived from virus proteins, such as HIV-1 Rev, and flock house virus coat proteins, and the DNA binding segments of leucine zipper proteins, such as cancer-related proteins c-Fos and c-Jan, and the yeast transcription factor GCN4. These segments have no specific primary and secondary structures in common except that they have several arginine residues in the sequences. Moreover, these peptides were internalized even at 4°. These results strongly suggested the possible existence of a common internalization mechanism ubiquitous to arginine-rich peptides, which is not explained by a typical endocytosis. Using (Arg)n (n = 4-16) peptides, we also demonstrated that there would be an optimal number of arginine residues (n .apprx. 8) for the efficient translocation.
IT **206350-77-8**
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(R6; arginine-rich peptides as potential carriers for intracellular protein delivery)
REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 45 OF 65 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:871599 CAPLUS
DOCUMENT NUMBER: 134:174708
TITLE: Polyarginines are potent furin inhibitors
AUTHOR(S): Cameron, Angus; Appel, Jon; Houghten, Richard A.; Lindberg, Iris
CORPORATE SOURCE: Department of Biochemistry and Molecular Biology, Louisiana State University Health Sciences Center, New Orleans, LA, 70112, USA
SOURCE: Journal of Biological Chemistry (2000), 275(47), 36741-36749
CODEN: JBCHA3; ISSN: 0021-9258
PUBLISHER: American Society for Biochemistry and Molecular Biology
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 13 Dec 2000
AB The ubiquitous serine endoprotease furin has been implicated in the activation of bacterial toxins and viral glycoproteins as well as in the metastatic progression of certain tumors. Although high mol. mass bioengineered serpin inhibitors have been well characterized, no small nontoxic nanomolar inhibitors have been reported to date. Here the authors describe the identification of such inhibitors using positional scanning amidated and acetylated synthetic L- and D-hexapeptide combinatorial libraries. The results indicated that L-Arg or L-Lys in all positions generated the most potent inhibitors. However, further investigation revealed that the peptide terminating groups hindered inhibition. Consequently, a series of non-amidated and acetylated

polyarginines was synthesized. The most potent inhibitor identified, nona-L-arginine, had a Ki for furin of 40 nM. The Ki values for the related convertases PACE4 and prohormone convertase-1 (PC1) were 110 nM and 2.5 μM, resp. Although nona-L-arginine was cleaved by furin, the major products after a 6-h incubation at 37° were hexa-and hepta-L-arginines, both of which retained the great majority of their potency and specificity against furin. Hexa-D-arginine was as potent and specific a furin inhibitor as hexa-L-arginine (Ki values of hexa-D-arginine: 106 nM, 580 nM, and 13.2 μM for furin, PACE4, and PC1, resp.). PC2 was not inhibited by any polyarginine tested; indeed, PC2 showed an increase in activity of ≤140% of the control in the presence of L-polyarginines. Data are also presented that show extended subsite recognition by furin and PC2. Whereas N-terminal acetylation was found to reduce the inhibitory potency of the L-hexapeptide LLRVKR against furin 8-fold, C-terminal amidation reduced the potency <2-fold. Conversely, N-terminal acetylation increased the potency against PC2 nearly 3-fold, whereas C-terminal amidation of the same peptide increased the potency by a factor of 1.6. Our data indicate that non-acetylated, poly-D-arginine-derived mols. may represent excellent lead compds. for the development of therapeutically useful furin inhibitors.

IT **96337-25-6 216584-12-2 326491-47-8**
326491-51-4
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(polyarginines are potent furin inhibitors in relation to effect on other enzymes)

REFERENCE COUNT: 57 THERE ARE 57 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 46 OF 65 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:824392 CAPLUS
DOCUMENT NUMBER: 134:2055
TITLE: Method for the purification of protein kinase by affinity chromatography using a bifunctional inhibitor as an affinity ligand
INVENTOR(S): Loog, Mart; Uri, Asko; Jarv, Jaak; Ek, Pia
PATENT ASSIGNEE(S): Estonia
SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000070029	A1	20001123	WO 2000-EP4104	20000508
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2371674	AA	20001123	CA 2000-2371674	20000508
EP 1179050	A1	20020213	EP 2000-940236	20000508
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

JP 2003521882 T2 20030722 JP 2000-618435 20000508
PRIORITY APPLN. INFO.: SE 1999-1807 A 19990517
WO 2000-EP4104 W 20000508

ED Entered STN: 24 Nov 2000
AB A method for the purification of protein kinase by affinity chromatog. using a bifunctional inhibitor for the kinase as an affinity ligand is disclosed.
IT **96337-25-6**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)
(method for purification of protein kinase by affinity chromatog. using bifunctional inhibitor as affinity ligand)
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 47 OF 65 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:785678 CAPLUS
DOCUMENT NUMBER: 134:113485
TITLE: Polyarginine enters cells more efficiently than other polycationic homopolymers
AUTHOR(S): Mitchell, D. J.; Kim, D. T.; Steinman, L.; Fathman, C. G.; Rothbard, J. B.
CORPORATE SOURCE: Department of Neurology, Stanford University, Stanford, CA, USA
SOURCE: Journal of Peptide Research (2000), 56(5), 318-325
CODEN: JPERFA; ISSN: 1397-002X
PUBLISHER: Munksgaard International Publishers Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 09 Nov 2000
AB Homopolymers or peptides containing a high percentage of cationic amino acids have been shown to have a unique ability to cross the plasma membrane of cells, and consequently have been used to facilitate the uptake of a variety of biopolymers and small mols. To investigate whether the polycationic character of these mols., or some other structural feature, was the mol. basis for the effect, the ability of a variety of homopolymers to enter cells was assayed by confocal microscopy and flow cytometry. Polymers of L- or D-arginine containing six or more amino acids entered cells far more effectively than polymers of equal length composed of lysine, ornithine and histidine. Peptides of fewer than six amino acids were ineffective. The length of the arginine side-chain could be varied without significant loss of activity. These data combined with the inability of polymers of citrulline to enter cells demonstrated that the guanidine headgroup of arginine was the critical structural component responsible for the biol. activity. Cellular uptake could be inhibited by pre-incubation of the cells with sodium azide, but not by low temperature (3°C), indicating that the process was energy dependent, but did not involve endocytosis.
IT **96337-25-6 216584-12-2**
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(polyarginine uptake by cell membrane and intracellular transport)
REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 48 OF 65 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:620112 CAPLUS
DOCUMENT NUMBER: 134:14591
TITLE: Bi-substrate analogue ligands for affinity chromatography of protein kinases

AUTHOR(S): Loog, M.; Uri, A.; Jarv, J.; Ek, P.
CORPORATE SOURCE: Institute of Chemical Physics, Tartu University, Tartu, Estonia
SOURCE: FEBS Letters (2000), 480(2,3), 244-248
CODEN: FEBLAL; ISSN: 0014-5793
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 06 Sep 2000
AB Novel affinity ligands, consisting of an ATP-resembling part coupled with a specificity determining peptide fragment, were proposed for purification of protein kinases. Following this approach affinity sorbents based on two closely similar ligands AdoC-Aoc-Arg4-Lys and AdoC-Aoc-Arg4-NH(CH2)6NH2 (where AdoC stands for adenosine-5'-carboxylic acid and Aoc for amino-octanoic acid) were synthesized and tested for purification of recombinant protein kinase A catalytic subunit directly from crude cell extract Elution of the enzyme with MgATP as well as L-arginine yielded homogeneous protein kinase A preparation in a single purification step. Also protein kinase A from pig heart homogenate was selectively isolated using MgATP as eluting agent. Protein kinase with acidic specificity determinant (CK2) as well as other proteins possessing nucleotide binding site (L-type pyruvate kinase) or sites for wide variety of different ligands (bovine serum albumin) did not bind to the column, pointing to high selectivity of the bi-functional binding mode of the affinity ligand.
IT **96337-25-6**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of bi-substrate analog ligands for affinity chromatog. of protein kinase A)
REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 49 OF 65 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:318766 CAPLUS
DOCUMENT NUMBER: 133:83987
TITLE: Arginine-rich anti-vascular endothelial growth factor peptides inhibit tumor growth and metastasis by blocking angiogenesis
AUTHOR(S): Bae, Dong-Goo; Gho, Yong-Song; Yoon, Wan-Hee; Chae, Chi-Bom
CORPORATE SOURCE: Division of Molecular and Life Science, Pohang University of Science and Technology, Pohang, 790-784, S. Korea
SOURCE: Journal of Biological Chemistry (2000), 275(18), 13588-13596
CODEN: JBCHA3; ISSN: 0021-9258
PUBLISHER: American Society for Biochemistry and Molecular Biology
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 17 May 2000
AB Tumor angiogenesis is a critical step for the growth and metastasis of solid tumors. Vascular endothelial growth factor (VEGF) is a specific and potent angiogenic factor and contributes to the development of solid tumors by promoting tumor angiogenesis. Therefore, it is a prime therapeutic target for the development of antagonists for treatment of cancer. We identified from peptide libraries arginine-rich hexapeptides that inhibit the interaction of VEGF165 with VEGF receptor (IC50 = 2-4

μM). They have no effect on binding of basic fibroblast growth factor to cellular receptor. The hexapeptides inhibit the proliferation of human umbilical vein endothelial cells induced by VEGF165 without toxicity. The peptides bind to VEGF and inhibit binding of both VEGF165 and VEGF121, suggesting that the peptides interact with the main body of VEGF but not the heparin-binding domain that is absent in VEGF121. The identified peptides block the angiogenesis induced by VEGF165 in vivo in the chick chorioallantoic membrane and the rabbit cornea. Furthermore, one of the hexapeptides, RRKRRR, blocks the growth and metastasis of VEGF-secreting HM7 human colon carcinoma cells in nude mice. Based on our results, the arginine-rich hexapeptides may be effective for the treatment of various human tumors and other angiogenesis-dependent diseases that are related to the action of VEGF and could also serve as leads for development of more effective drugs.

IT **96337-25-6 281194-44-3 281194-45-4**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(arginine-rich anti-vascular endothelial growth factor peptides inhibit tumor growth and metastasis by blocking angiogenesis)

REFERENCE COUNT: 53 THERE ARE 53 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 50 OF 65 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:348259 CAPLUS
DOCUMENT NUMBER: 131:124936
TITLE: Adenosine-5'-carboxylic acid peptidyl derivatives as inhibitors of protein kinases
AUTHOR(S): Loog, Mart; Uri, Asko; Raidaru, Gerda; Jarv, Jaak; Ek, Pia
CORPORATE SOURCE: Institute of Chemical Physics, Tartu University, Tartu, 51014, Estonia
SOURCE: Bioorganic & Medicinal Chemistry Letters (1999), 9(10), 1447-1452
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 08 Jun 1999
AB A new class of protein kinase bisubstrate-analog inhibitors was designed on the basis of adenosine-5'-carboxylic acid derivs., where a short peptide was attached to the 5'-carbon atom of the adenosine sugar moiety via a linker chain. The potency and selectivity of these inhibitors were adjusted by relevant combination of these structural fragments, resembling the structure of the bisubstrate complex of the peptide phosphorylation reaction.
IT **234780-10-0**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(adenosine-5'-carboxylic acid peptidyl derivs. as inhibitors of protein kinases)
REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 51 OF 65 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1998:789054 CAPLUS
DOCUMENT NUMBER: 130:57169
TITLE: Polymer conjugates for enhancing drug transport across biological membranes

INVENTOR(S): Rothbard, Jonathan B.; Wender, Paul A.
PATENT ASSIGNEE(S): The Board of Trustees of the Leland Stanford Junior University, USA
SOURCE: PCT Int. Appl., 50 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9852614	A2	19981126	WO 1998-US10571	19980521
WO 9852614	A3	19990318		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2291074	AA	19981126	CA 1998-2291074	19980521
AU 9875938	A1	19981211	AU 1998-75938	19980521
AU 734827	B2	20010621		
EP 975370	A2	20000202	EP 1998-923711	19980521
EP 975370	B1	20031015		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
GB 2341390	A1	20000315	GB 1999-23841	19980521
GB 2341390	B2	20001108		
BR 9809138	A	20010828	BR 1998-9138	19980521
US 6306993	B1	20011023	US 1998-83259	19980521
JP 2002502376	T2	20020122	JP 1998-550716	19980521
EP 1304122	A2	20030423	EP 2003-75137	19980521
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
AT 251913	E	20031115	AT 1998-923711	19980521
ES 2210761	T3	20040701	ES 1998-923711	19980521
US 6495663	B1	20021217	US 1999-396195	19990914
US 2002131965	A1	20020919	US 2001-957161	20010919
US 2003162719	A1	20030828	US 2003-338348	20030107
PRIORITY APPLN. INFO.:			US 1997-47345P	P 19970521
			EP 1998-923711	A3 19980521
			US 1998-83259	A1 19980521
			WO 1998-US10571	W 19980521
			US 1999-396195	A1 19990914

ED Entered STN: 16 Dec 1998

AB Methods and compns. for transporting drugs and macromols. across biol. membranes are disclosed. In one embodiment, the invention includes a method for enhancing transport of a selected compound across a biol. membrane, wherein a biol. membrane is contacted with a conjugate containing a biol. active agent that is covalently attached to a transport polymer. In one embodiment, the polymer consists of from 6 to 25 subunits, at least 50 % of which contain a guanidino or amidino side-chain moiety. The polymer is effective to impart to the attached agent a rate of trans-membrane transport across a biol. membrane that is greater than the rate of trans-membrane transport of the agent in non-conjugated form.

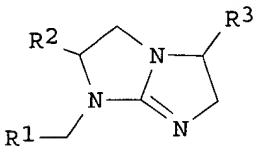
IT **96337-25-6 216584-12-2**
RL: PEP (Physical, engineering or chemical process); THU (Therapeutic

use); BIOL (Biological study); PROC (Process); USES (Uses)
(polymer conjugates for enhancing drug transport across biol. membranes)

L8 ANSWER 52 OF 65 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1998:635665 CAPLUS
DOCUMENT NUMBER: 129:270628
TITLE: N-methyl-D-aspartate receptor channel blockers and method for identifying such
INVENTOR(S): Montal, Mauricio; Ferrer-Montiel, Antonio; Merino, Jaime; Blondell, Sylvie; Houghten, Richard
PATENT ASSIGNEE(S): The Regents of the University of California, USA
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 9841223	A1	19980924	WO 1998-US5800		19980320
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG					
AU 9868688	A1	19981012	AU 1998-68688		19980320
US 6251854	B1	20010626	US 1999-381434		19991206
PRIORITY APPLN. INFO.:			US 1997-42703P	P	19970320
			WO 1998-US5800	W	19980320

OTHER SOURCE(S): MARPAT 129:270628
ED Entered STN: 08 Oct 1998
GI



I

AB Compds. that provide protection against excitotoxic neuronal damage are selected from the group consisting of Arg-rich oligopeptides and compds. of formula I (R1 = alkyl, alkenyl, hydroxyalkyl, aminoalkyl, alkoxy-alkyl; R2, R3 = natural or artificial amino acid side chains).
IT **96337-25-6**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(NMDA blockers and method for identifying such)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 53 OF 65 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1998:446934 CAPLUS
DOCUMENT NUMBER: 129:185531
TITLE: Promotion of Microtubule Assembly by Oligocations: Cooperativity between Charged Groups
AUTHOR(S): Wolff, J.
CORPORATE SOURCE: Laboratory of Biochemistry and Genetics, National Institutes of Health, Bethesda, MD, 20892, USA
SOURCE: Biochemistry (1998), 37(30), 10722-10729
CODEN: BICHAW; ISSN: 0006-2960
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 20 Jul 1998
AB The rate and, to a lesser degree, the extent of microtubule assembly from rat brain tubulin is enhanced by oligocations such as polyamines, melittin, polybasic drugs, oligolysines, and oligoarginines. The effect is cooperative for ds.p. up to seven for oligolysines and up to five for oligoarginines and is interpreted as an interaction with up to seven closely spaced anionic charges. Microtubules so formed appear to be normal by electron microscopy, and by salt, colchicine, and cold sensitivities. Lysyl residues in excess of seven (or five for arginine) in larger oligomers interact nearly noncooperatively. Separation of lysyl charges by intercalation of alanyl residues reduced assembly promoting potency for hexalysines. The cooperative portion of the response is most likely associated with the highly acidic extreme C termini of tubulin because their removal with limited subtilisin treatment markedly reduces oligolysine potency. However, some cooperative interactions with oligocations can also occur with more widely spaced anionic charges elsewhere in tubulin. The potential role of oligocations in the intracellular regulation of microtubule assembly is discussed.
IT **96337-25-6**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(promotion of microtubule assembly by diamines, polyamines, oligolysines and oligoarginines)
REFERENCE COUNT: 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 54 OF 65 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1998:255444 CAPLUS
DOCUMENT NUMBER: 129:51255
TITLE: Peptide inhibitors of cathepsin C designed through the use of combinatorial libraries
AUTHOR(S): Horn, Martin; Pavlik, Manfred; Mares, Michael
CORPORATE SOURCE: Institute of Organic Chemistry and Biochemistry, Czech Academy of Sciences, Prague, 16610, Czech Rep.
SOURCE: Biomedical and Health Research (1997), 13(Proteolysis in Cell Functions), 137-140
CODEN: BIHREN; ISSN: 0929-6743
PUBLISHER: IOS Press
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 06 May 1998
AB Cathepsin C is one of the lysosomal cathepsins which is interesting due to its unique structural and functional features. The authors present a de novo design of low mol. weight inhibitors using peptide combinatorial chemical to study its specificity and active site.
IT **208645-99-2**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(peptide inhibitors of cathepsin C designed through use of combinatorial libraries)
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 55 OF 65 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1998:181809 CAPLUS
DOCUMENT NUMBER: 128:303622
TITLE: Selected peptides targeted to the NMDA receptor channel protect neurons from excitotoxic death
AUTHOR(S): Ferrer-Montiel, Antonio V.; Merino, Jaime M.; Blondelle, Sylvie E.; Perez-Paya, Enrique; Houghten, Richard A.; Montal, Mauricio
CORPORATE SOURCE: Dep. Biol., Univ. California, San Diego, La Jolla, CA, 92093-0366, USA
SOURCE: Nature Biotechnology (1998), 16(3), 286-291
CODEN: NABIF9; ISSN: 1087-0156
PUBLISHER: Nature America
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 28 Mar 1998
AB Excitotoxic neuronal death, associated with neurodegeneration and stroke, is triggered primarily by massive Ca2+ influx arising from overactivation of glutamate receptor channels of the N-methyl-D-aspartate (NMDA) subtype. To search for channel blockers, synthetic combinatorial libraries were assayed for block of agonist-evoked currents by the human NR1-NR2A NMDA receptor subunits expressed in amphibian oocytes. A set of arginine-rich hexapeptides selectively blocked the NMDA receptor channel with IC60 approx. 100 nM, a potency similar to clin. tolerated blockers such as memantine, and only marginally blocked on non-NMDA glutamate receptors. These peptides prevent neuronal cell death elicited by an excitotoxic insult on hippocampal cultures.
IT **206350-77-8**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(selected peptides targeted to NMDA receptor channel protect neurons from excitotoxic death)
REFERENCE COUNT: 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 56 OF 65 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1996:522917 CAPLUS
DOCUMENT NUMBER: 125:276517
TITLE: Modeling the maximum charge state of arginine-containing peptide ions formed by electrospray ionization
AUTHOR(S): Schnier, Paul D.; Price, William D.; Williams, Evan R.
CORPORATE SOURCE: Dep. Chemistry, Univ. California, Berkeley, CA, 94720, USA
SOURCE: Journal of the American Society for Mass Spectrometry (1996), 7(9), 972-976
CODEN: JAMSEF; ISSN: 1044-0305
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 30 Aug 1996
AB A model for the gas-phase proton transfer reactivity of multiply protonated mols. is used to quant. account for the maximum charge states of a series of arginine-containing peptide ions measured by Downard and Biemann;

the calcns. account exactly for the maximum charge state for 7 of the 10 peptides and are off by 1 charge for the remaining 3. These calcns. predict the trend in maximum charge states for these peptides and provide further evidence that the maximum charge state of ions formed by electrospray ionization is determined by their gas-phase proton transfer reactivity.

IT **96337-25-6**, H-Arg-Arg-Arg-Arg-Arg-Arg-OH
RL: PRP (Properties)
(modeling the maximum charge state of arginine-containing peptide ions formed
by electrospray ionization)

L8 ANSWER 57 OF 65 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1996:157010 CAPLUS
DOCUMENT NUMBER: 124:255179
TITLE: Improved refolding of an immobilized fusion protein
AUTHOR(S): Stempfer, Guenter; Hoell-Neugebauer, Baerbel; Rudolph, Rainer
CORPORATE SOURCE: Boehringer Mannheim Therapeutics, Penzberg, D-82377, Germany
SOURCE: Nature Biotechnology (1996), 14(3), 329-34
CODEN: NABIF9; ISSN: 1087-0156
PUBLISHER: Nature Publishing Co.
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 19 Mar 1996
AB Fusion proteins of monomeric α-glucosidase from Saccharomyces cerevisiae containing N- or C-terminal hexa-arginine peptides were expressed in the cytosol of Escherichia coli in soluble form. The polycationic peptide moieties allow noncovalent binding of the denatured fusion proteins to a polyanionic solid support. Upon removal of the denaturant, refolding of the matrix-bound protein can proceed without perturbation by aggregation. However, nonspecific interactions of the denatured polypeptide, or of folding intermediates, with the matrix cause a drastic decrease in renaturation under suboptimal folding conditions. At low salt concns., ionic interactions of the refolding polypeptide with the matrix result in lower yields of renaturation. At higher salt concns., renaturation is prevented by hydrophobic interactions with the matrix. Apart from ionic strength, renaturation of the denatured matrix-bound fusion protein must be optimized with respect to pH, temperature, cosolvents, and matrix material used. Under optimum conditions, immobilized α-glucosidase can be renatured with a high yield at protein concns. up to 5 mg/mL, whereas folding of the wild-type enzyme in solution is feasible only at an extremely low protein concentration (15 μg/mL). Thus, folding of immobilized α-glucosidase allows an extremely high yield of the renatured model protein. The technol. should be applicable to other proteins that tend to aggregate during refolding.

IT **96337-25-6D**, fusion products, immobilized
RL: PRP (Properties)
(improved refolding of immobilized fusion protein)

L8 ANSWER 58 OF 65 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1995:1002363 CAPLUS
DOCUMENT NUMBER: 124:176912
TITLE: Charging behavior of highly basic peptides during electrospray ionization a predilection for protons
AUTHOR(S): Downard, Kevin M.; Biemann, Klaus
CORPORATE SOURCE: Department of Chemistry, Massachusetts Institute of Technology, Cambridge, MA, 02139-4307, USA
SOURCE: International Journal of Mass Spectrometry and Ion Processes (1995), 148(3), 191-202

CODEN: IJMPDN; ISSN: 0168-1176
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 23 Dec 1995
AB The extent of charging (or protonation) during the electrospray ionization has been examined for a series of specifically constructed arginine-rich peptides, which differ in structure by the length of the peptide chain and the number and proximity of arginine residues. It has been found that although a small peptide of the series will protonate fully, supporting a charge on each arginine side chain, the same charging behavior is not observed for larger peptides with the same repeating primary structure. Furthermore, no significant increase in the extent of charging was observed as the length of the peptide chain, or the distance between potential charge-bearing sites, was increased. The apparent sites of protonation in the [M + nH]n+ peptide ions have been examined for several representative peptides based on the extent of protonation compared to that of structurally related peptides, and their dissociation behavior. Despite the potential for proton migration during the collisional activation event, the fragmentation pattern of the peptide ions studied suggests that the charge-bearing protons are reasonably localized at the time of dissociation commensurate with our previous observations for singly and multiply charge peptide ions. The charging behavior of the model peptides is discussed in the context of a reported mechanism for the electrospray ionization process.
IT **96337-25-6**
RL: PRP (Properties)
(charging behavior of arginine-rich peptides during electrospray ionization mass spectrometry)

L8 ANSWER 59 OF 65 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1995:721195 CAPLUS
DOCUMENT NUMBER: 123:218443
TITLE: Superoxide dismutase, mimetics thereof, therapeutic use thereof, and isolation and sequencing of human EC superoxide dismutase gene
INVENTOR(S): Crapo, James D.; Fridovich, Irwin; Oury, Tim; Day, Brian J.; Folz, Rodney J.; Freeman, Bruce A.
PATENT ASSIGNEE(S): Duke University, USA; University of Alabama at Birmingham Research Foundation
SOURCE: PCT Int. Appl., 135 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9510185	A1	19950420	WO 1994-US11558	19941013
W: AU, CA, JP				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2174236	AA	19950420	CA 1994-2174236	19941013
AU 9479763	A1	19950504	AU 1994-79763	19941013
AU 702596	B2	19990225		
EP 723398	A1	19960731	EP 1994-930729	19941013
EP 723398	B1	20050323		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 09505805	T2	19970610	JP 1995-512010	19941013
EP 1442747	A1	20040804	EP 2004-10434	19941013

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE

AT 291351	E	20050415	AT 1994-930729		19941013
ES 2237753	T3	20050801	ES 1994-930729		19941013
AU 769217	B2	20040122	AU 2000-53511		20000821
PRIORITY APPLN. INFO.:			US 1993-136207	A	19931015
			EP 1994-930729	A3	19941013
			WO 1994-US11558	W	19941013
			AU 1996-63870	A3	19960607

OTHER SOURCE(S): MARPAT 123:218443
ED Entered STN: 05 Aug 1995
AB The present invention relates, in general, to a method of modulating physiol. and pathol. processes and, in particular, to a method of modulating intra- and extracellular levels of superoxide radicals and thereby processes in which such radicals are a participant. The invention also relates to compds. and compns. suitable for use in such methods. The invention claims superoxide dismutase (SOD) mimetics which comprise a N-containing macrocyclic moiety and a cell surface or extracellular matrix targeting moiety, or a pharmaceutically acceptable salt thereof. The macrocyclic moiety of the SOD mimetic is e.g. a porphyrin derivative (Markush included) which may be complexed with manganese, copper, or iron; the targeting moiety is e.g. a peptide sequence (sequences included). Also included is the isolation and sequencing of the human gene for EC-SOD (tetrameric glycosylated copper- and zinc-containing SOD found in the extracellular fluid and bound to the extracellular matrix). A SOD mimetic protected against paraquat-induced injury in cultured rat pulmonary epithelial cells.
IT **96337-25-6**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(targeting moiety, superoxide dismutase mimetic containing; superoxide dismutase, mimetics thereof, therapeutic use thereof, and isolation and sequencing of human EC superoxide dismutase gene)

L8 ANSWER 60 OF 65 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1989:400232 CAPLUS
DOCUMENT NUMBER: 111:232
TITLE: Macrophage activation and host augmentation against Sendai or herpes simplex virus (HSV) infections with synthetic polypeptides in mice
AUTHOR(S): Iida, Joji; Nishi, Norio; Saiki, Ikuo; Mizukoshi, Noriko; Ishihara, Chiaki; Tokura, Seiichi; Azuma, Ichiro
CORPORATE SOURCE: Fac. Sci., Hokkaido Univ., Sapporo, 060, Japan
SOURCE: International Journal of Immunopharmacology (1989), 11(3), 249-58
CODEN: IJIMDS; ISSN: 0192-0561
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 08 Jul 1989
AB Poly-L-Lys (mean mol. wt; 12,000), poly-L-Arg (5000), and poly-L-Orn were found to activate peritoneal macrophages effectively in vivo. The ability of sequential poly(L-Arg-L-X) (5000) to activate macrophages was less than that of poly-L-Arg. Neither (L-Arg)12 nor (L-Arg)6 by themselves activated macrophages, but poly-D-Arg (5000) did, as also did poly-L-Arg; this suggests that the polycationic character of poly-L-Arg plays a role in the activation of macrophages. The intranasal administration of poly-L-Lys, -L-Arg, -L-Orn, -D-Arg, all of which activated macrophages, augmented host resistance against Sendai virus infection in mice. The protection afforded by poly-L-Arg seemed to depend on its mol. wt: the order of protection was poly-L-Arg>(L-Arg)12>(L-Arg)6. The intranasal administration of poly-L-Arg 3 days before the infection was effective,

while that 1 day before infection was not. There was no difference between the groups in the titer of interferon produced by the infection of Sendai virus given poly-L-Arg either 3 days before or 1 day before the infection. The administration of poly-L-Arg 3 days before the infection decreased the virus titer in the lung 6 days after the infection when compared with the control or the mice treated 1 day before. The i.v. administration of 2-chloroadenosine, which is a selective inhibitor of macrophages, into the mice which had received poly-L-Arg intranasally 3 days before the infection decreased the survival rate of the mice, indicating that the macrophages activated with poly-L-Arg are likely to be an important element in affording the protection. S.c. administration of poly-L-Arg had protective activity against systematic infection with herpes virus-type 1.

IT **96337-25-6**
RL: BIOL (Biological study)
(Sendai virus infection inhibition by, macrophage activation in)

L8 ANSWER 61 OF 65 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1989:147335 CAPLUS
DOCUMENT NUMBER: 110:147335
TITLE: Biological activities of synthetic polypeptides containing a repetitive core sequence (Arg-Gly-Asp) of cell adhesion molecules
AUTHOR(S): Saiki, Ikuo; Iida, Joji; Azuma, Ichiro; Nishi, Norio; Matsuno, Kazuhiko
CORPORATE SOURCE: Inst. Immunol. Sci., Hokkaido Univ., Sapporo, 060, Japan
SOURCE: International Journal of Biological Macromolecules (1989), 11(1), 23-5
CODEN: IJBMDR; ISSN: 0141-8130
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 30 Apr 1989
AB A unique polypeptide containing the repeated structure of core sequence from cell adhesion mols., poly(Arg-Gly-Asp), was successfully prepared by the polymerization procedure with diphenylphosphoryl azide. This polypeptide dramatically inhibited the aggregation of platelets induced by ADP or malignant melanoma cells.
IT **96337-25-6**
RL: BIOL (Biological study)
(macrophage activation by)

L8 ANSWER 62 OF 65 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1985:198911 CAPLUS
DOCUMENT NUMBER: 102:198911
TITLE: Chemical synthesis and cloning of a poly(arginine)-coding gene fragment designed to aid polypeptide purification
AUTHOR(S): Smith, J. C.; Derbyshire, R. B.; Cook, E.; Dunthorne, L.; Viney, J.; Brewer, S. J.; Sassenfeld, H. M.; Bell, L. D.
CORPORATE SOURCE: Searle Res. Dev., High Wycombe/Buckinghamshire, UK
SOURCE: Gene (1984), 32(3), 321-7
CODEN: GENED6; ISSN: 0378-1119
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 15 Jun 1985
AB A 43-base-pair DNA duplex coding for L-Arg6 [**96337-25-6**] was synthesized by modified phosphotriester procedures. It was inserted into the BglII and BamHI restriction sites of a cloned synthetic

β-urogastrone (β-Uro) [59459-45-9] gene under the control of the trp promoter. Subsequent induction with 3β-indole acrylic acid produces β-Uro with a C-terminal Arg6 fusion. The raised isoelec. point of this polypeptide fusion facilitates rapid purification by cation exchange chromatog. The C-terminal Arg6 tail can be readily removed by treatment with carboxypeptidase B.

IT **96337-25-6P**
RL: PREP (Preparation)
(DNA specifying, preparation of)

L8 ANSWER 63 OF 65 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1978:502180 CAPLUS
DOCUMENT NUMBER: 89:102180
TITLE: Study of the interaction of synthetic fragments of histone F2a1 and iridine and salmine protamines with DNA
AUTHOR(S): Avdyukova, N. V.; Shirokova, A. G.; Radina, L. B.
CORPORATE SOURCE: Inst. Chem., Sverdlovsk, USSR
SOURCE: Molekulyarnaya Biologiya (Moscow) (1978), 12(3), 689-94
CODEN: MOBIBO; ISSN: 0026-8984
DOCUMENT TYPE: Journal
LANGUAGE: Russian
ED Entered STN: 12 May 1984
AB Thermal denaturation, equilibrium dialysis, and CD were used to analyze the interactions between salmon sperm DNA and 13 synthetic peptides, 3 of which represent N-terminal sequences in calf thymus histone F2a1 and the remainder, C-terminal sequences of salmine and iridine. One peptide decreased the Tm of the DNA by 0.5°, but the others increased the Tm by 4.5-15.5°. This DNA-stabilizing ability increased with an increase in the number of basic residues in the peptide but decreased with the addition of a C-terminal serine. For peptides containing ≥ 4 arginine residues, peptide binding to DNA was cooperative. The binding consts. (Ks) for the different peptides, estimated by equilibrium dialysis, were in the range of 1.8 + 10-2-1.1 + 104 M-1. The Ks increased with an increase in the number of basic residues. CD anal. indicated that these peptides caused a B-form → C-form conformational transition; the extent of the transition increased with an increase in basic residues.
IT **66344-93-2**
RL: BIOL (Biological study)
(DNA interaction with, mol. structure in relation to)

L8 ANSWER 64 OF 65 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1978:190643 CAPLUS
DOCUMENT NUMBER: 88:190643
TITLE: Fragments of principal nuclear proteins and their analogs. V. Synthesis of fragments of the central part of a protamine molecule of iridine I
AUTHOR(S): Shirokova, A. G.; Radina, L. B.
CORPORATE SOURCE: Inst. Khim., Sverdlovsk, USSR
SOURCE: Zhurnal Obshchei Khimii (1978), 48(1), 193-7
CODEN: ZOKHA4; ISSN: 0044-460X
DOCUMENT TYPE: Journal
LANGUAGE: Russian
ED Entered STN: 12 May 1984
AB The peptide fragments of the iridine I mol., H-(Arg)5-OMe.9HBr, H-Ser-(Arg)5-OMe.13HBr (I), and H-Pro-(Arg)2-Val-OMe.5HBr were prepared by standard peptide coupling methods. Only I was a strong nucleic acid synthesis inhibitor.
IT **66344-93-2P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
IT **66344-94-3**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reduction of)

L8 ANSWER 65 OF 65 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1977:602067 CAPLUS
DOCUMENT NUMBER: 87:202067
TITLE: Fragments of principal nuclear proteins and their analogs. III. Synthesis of an undecapeptide corresponding to the amino acid sequence 17-27 of iridin I protamine
AUTHOR(S): Shirokova, A. G.; Zhdanova, E. A.; Radina, L. B.
CORPORATE SOURCE: Inst. Khim., Sverdlovsk, USSR
SOURCE: Zhurnal Obshchei Khimii (1977), 47(4), 932-5
CODEN: ZOKHA4; ISSN: 0044-460X
DOCUMENT TYPE: Journal
LANGUAGE: Russian
ED Entered STN: 12 May 1984
AB The title compound, Pro-Arg-Arg-Val-Ser-(Arg)6-OMe, was prepared by stepwise mixed-anhydride condensation reactions to give PhCH2O2C-Pro-Arg(NO2)-Arg(NO2)-Val-OH and Ser(CH2Ph)-[Arg(NO2)]6-OMe, which underwent subsequent dicyclohexylcarbodiimide coupling and deblocking.
IT **64883-28-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and coupling reaction of, with serine derivative)
IT **64836-74-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and partial deblocking of)

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